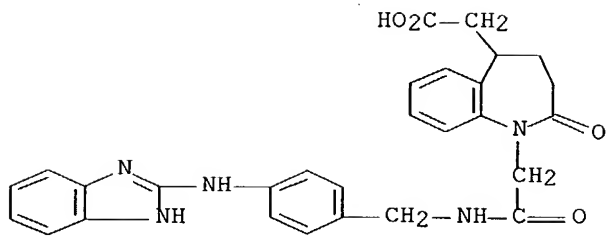
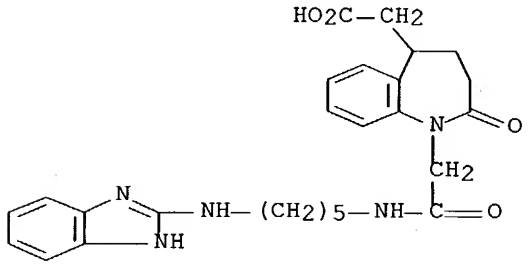
L10 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:195814 CAPLUS Full-text
DN 139:143331
TI Design and synthesis of 1,5- and 2,5-substituted tetrahydrobenzazepinones as novel potent and selective integrin αVβ3 antagonists
AU Kling, Andreas; Backfisch, Gisela; Delzer, Jurgen; Geneste, Herve; Graef, Claudia; Hornberger, Wilfried; Lange, Udo E. W.; Lauterbach, Arnulf; Seitz, Werner; Subkowski, Thomas
CS Discovery Research, Abbott GmbH and Co KG, Neuroscience, Medicinal Chemistry, Ludwigshafen, D-67008, Germany
SO Bioorganic & Medicinal Chemistry (2003), 11(7), 1319-1341
CODEN: BMECEP; ISSN: 0968-0896
PB Elsevier Science Ltd.
DT Journal
LA English
OS CASREACT 139:143331
AB The design and synthesis of novel integrin αVβ3 antagonists based on a 1,5- or 2,5-substituted tetrahydrobenzaezpinone core is described. In vitro activity of resp. compds. was determined via αVβ3 binding assay, and selected derivs. were submitted to further characterization in functional cellular assays. SAR was obtained by modification of the benzazepinone core, variation of the spacer linking guanidine moiety and core, and modification of the guanidine mimetic. These efforts led to the identification of novel αVβ3 inhibitors displaying potency in the subnanomolar range, selectivity vs. αIIbβ3 and functional efficacy in relevant cellular assays. A method for the preparation of enantiomerically pure derivs. was developed, and resp. enantiomers evaluated in vitro. Compds. 31 and 37 were assessed for metabolic stability, resorption in the Caco-2 assay and pharmacokinetics.
IT **380395-14-2P 380395-20-0P 380395-22-2P**
380395-28-8P 380395-33-5P 380395-41-5P
380395-43-7P 380395-64-2P 380395-91-5P
380395-94-8P 380396-18-9P 380396-19-0P
380396-20-3P 380396-21-4P 570360-71-3P
570360-72-4P 570360-73-5P 570360-74-6P
570360-75-7P 570360-77-9P 570360-79-1P
570360-81-5P 570360-82-6P 570360-87-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)(design and synthesis of 1,5- and 2,5-substituted tetrahydrobenzazepinones as novel potent and selective integrin αVβ3 antagonists)
RN 380395-14-2 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[4-(1H-benzimidazol-2-ylamino)phenyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)

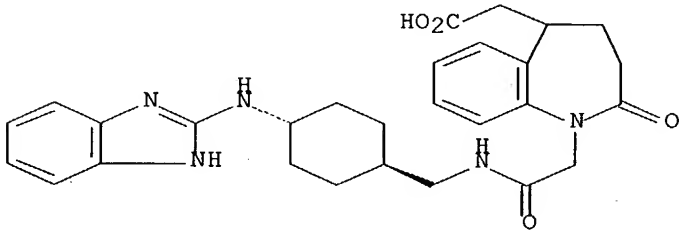


RN 380395-20-0 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[5-(1H-benzimidazol-2-ylamino)pentyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)

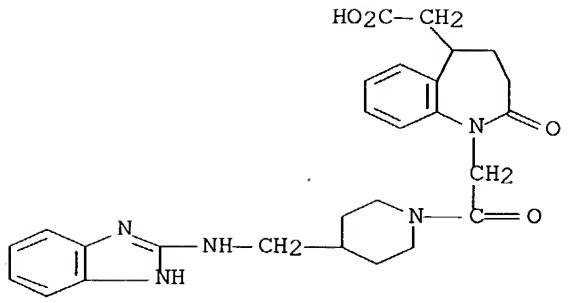


RN 380395-22-2 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[trans-4-(1H-benzimidazol-2-ylamino)cyclohexyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)

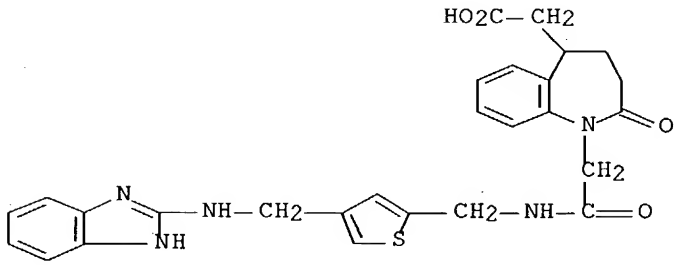
Relative stereochemistry.



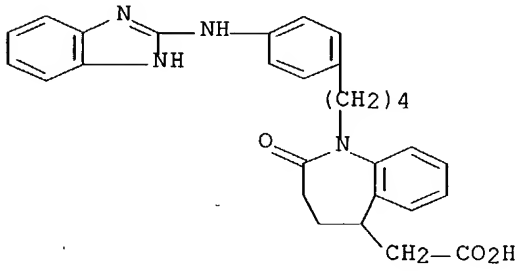
RN 380395-28-8 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[4-[(1H-benzimidazol-2-ylamino)methyl]-1-piperidinyl]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo- (9CI)
(CA INDEX NAME)



RN 380395-33-5 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[4-[(1H-benzimidazol-2-ylamino)methyl]-2-thienyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)



RN 380395-41-5 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[4-[4-(1H-benzimidazol-2-ylamino)phenyl]butyl]-2,3,4,5-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)

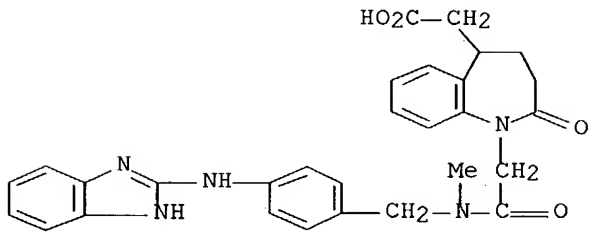


RN 380395-43-7 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[4-(1H-benzimidazol-2-ylamino)phenyl]methyl]methylamino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-

mono(trifluoroacetate) (9CI) (CA INDEX NAME)

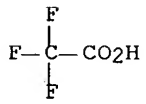
CM 1

CRN 380395-42-6
CMF C29 H29 N5 O4

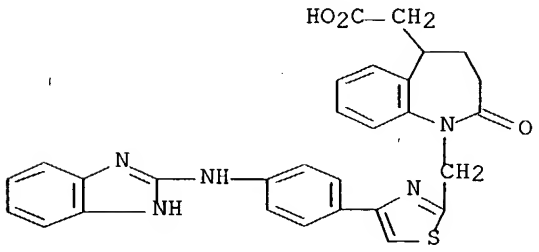


CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 380395-64-2 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[[4-[4-(1H-benzimidazol-2-ylamino)phenyl]-2-thiazolyl]methyl]-2,3,4,5-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)



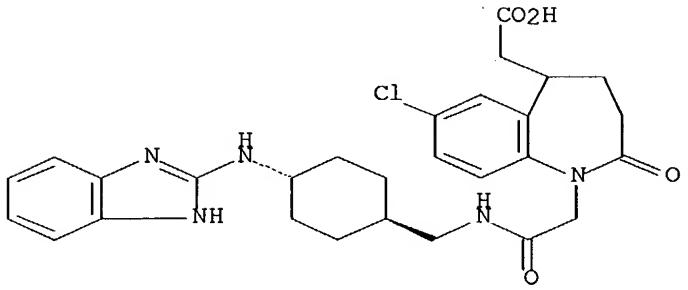
RN 380395-91-5 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[trans-4-(1H-benzimidazol-2-ylamino)cyclohexyl]methyl]amino]-2-oxoethyl]-7-chloro-2,3,4,5-tetrahydro-2-oxo-, monoacetate (9CI) (CA INDEX NAME)

CM 1

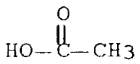
CRN 380395-90-4
CMF C28 H32 Cl N5 O4

Relative stereochemistry.



CM 2

CRN 64-19-7
CMF C2 H4 O2

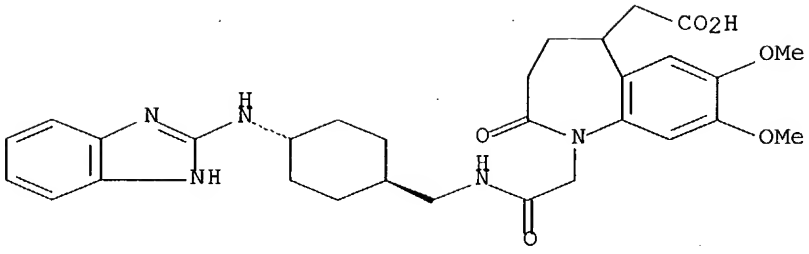


RN 380395-94-8 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[trans-4-(1H-benzimidazol-2-ylamino)cyclohexyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-7,8-dimethoxy-2-oxo-, monoacetate (9CI) (CA INDEX NAME)

CM 1

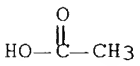
CRN 380395-93-7
CMF C30 H37 N5 O6

Relative stereochemistry.



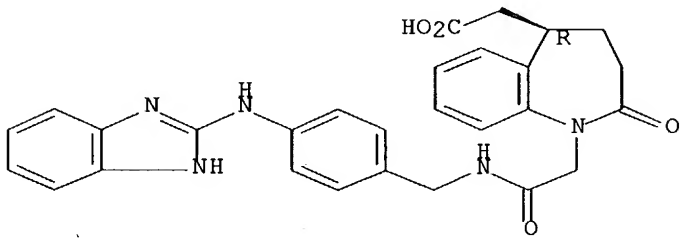
CM 2

CRN 64-19-7
CMF C2 H4 O2



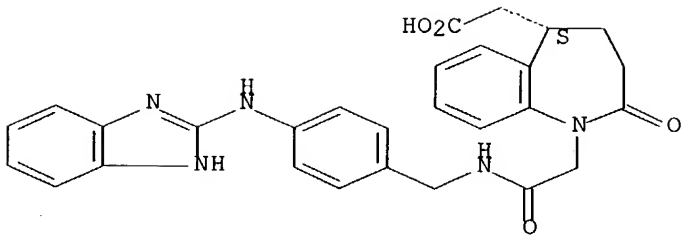
RN 380396-18-9 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[4-(1H-benzimidazol-2-ylamino)phenyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-, (5R)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



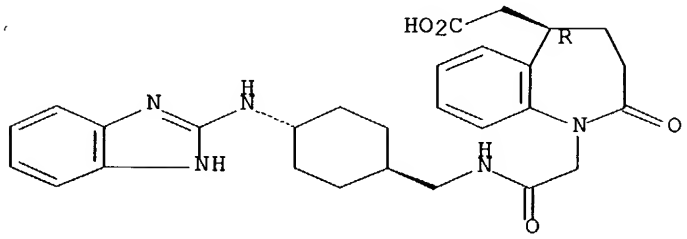
RN 380396-19-0 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[4-(1H-benzimidazol-2-ylamino)phenyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-, (5S)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



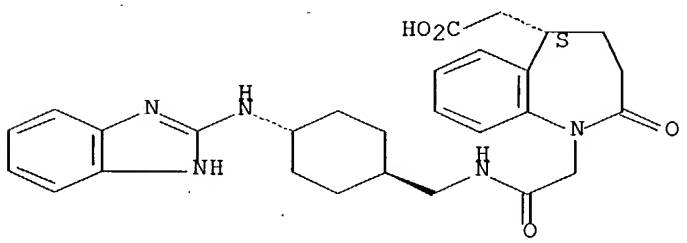
RN 380396-20-3 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[trans-4-(1H-benzimidazol-2-ylamino)cyclohexyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 380396-21-4 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[trans-4-(1H-benzimidazol-2-ylamino)cyclohexyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-, (5S)- (9CI) (CA INDEX NAME)

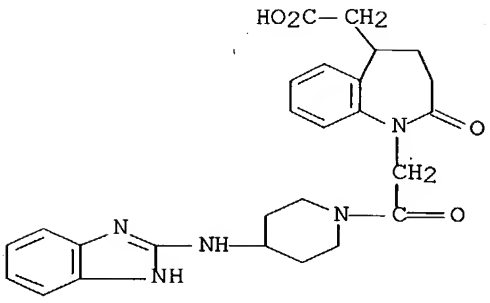
Absolute stereochemistry. Rotation (+).



RN 570360-71-3 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[4-(1H-benzimidazol-2-ylamino)-1-piperidinyl]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-, monoacetate (9CI) (CA
INDEX NAME)

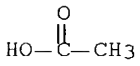
CM 1

CRN 380396-08-7
CMF C26 H29 N5 O4



CM 2

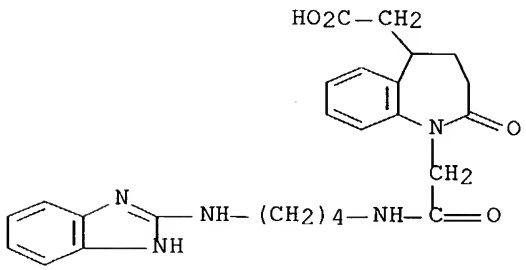
CRN 64-19-7
CMF C2 H4 O2



RN 570360-72-4 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[4-(1H-benzimidazol-2-ylamino)butyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-, monoacetate (9CI) (CA INDEX NAME)

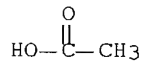
CM 1

CRN 380395-19-7
CMF C25 H29 N5 O4



CM 2

CRN 64-19-7
CMF C2 H4 O2

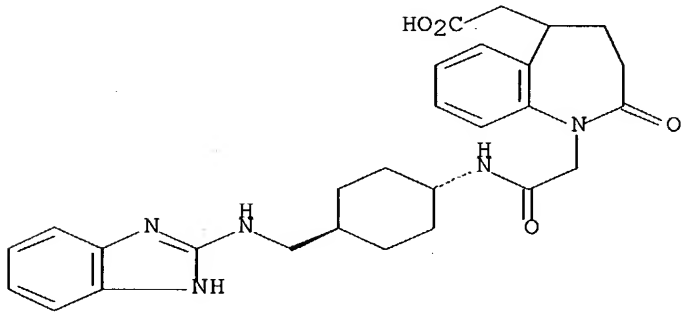


RN 570360-73-5 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[trans-4-[(1H-benzimidazol-2-ylamino)methyl]cyclohexyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-, monoacetate (9CI) (CA INDEX NAME)

CM 1

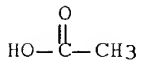
CRN 380395-21-1
CMF C28 H33 N5 O4

Relative stereochemistry.



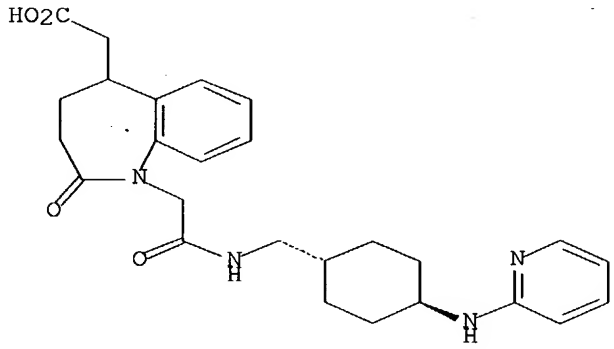
CM 2

CRN 64-19-7
CMF C2 H4 O2



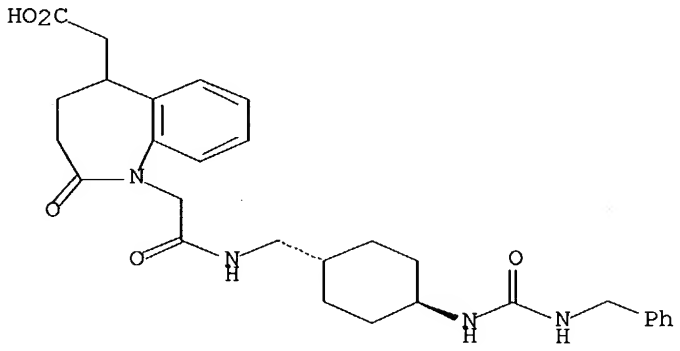
RN 570360-74-6 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 2,3,4,5-tetrahydro-2-oxo-1-[2-oxo-2-[[[trans-4-(2-pyridinylamino)cyclohexyl]methyl]amino]ethyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 570360-75-7 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 2,3,4,5-tetrahydro-2-oxo-1-[2-oxo-2-[[[trans-4-[[[(phenylmethyl)amino]carbonyl]amino]cyclohexyl]methyl]amino]ethyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

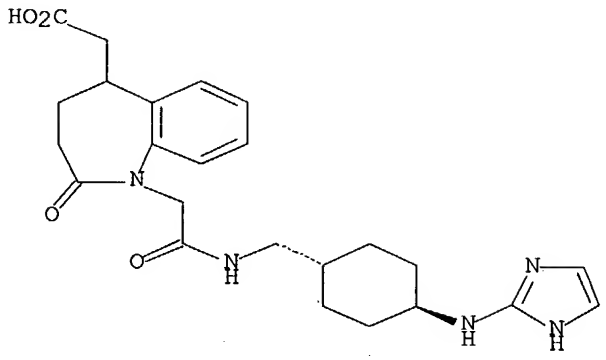


RN 570360-77-9 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 2,3,4,5-tetrahydro-1-[2-[[[trans-4-(1H-imidazol-2-ylamino)cyclohexyl]methyl]amino]-2-oxoethyl]-2-oxo-, monoacetate (9CI) (CA INDEX NAME)

CM 1

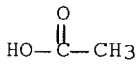
CRN 570360-76-8
CMF C24 H31 N5 O4

Relative stereochemistry.



CM 2

CRN 64-19-7
CMF C2 H4 O2

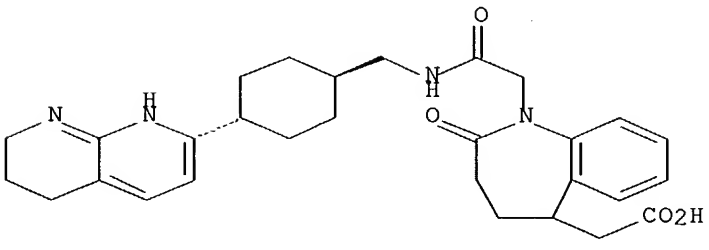


RN 570360-79-1 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 2,3,4,5-tetrahydro-2-oxo-1-[2-oxo-2-[[[trans-4-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)cyclohexyl]methyl]amino]ethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

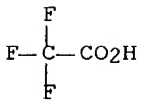
CRN 570360-78-0
CMF C29 H36 N4 O4

Relative stereochemistry.



CM 2

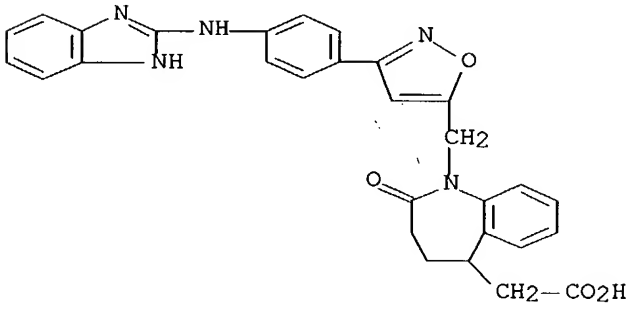
CRN 76-05-1
CMF C2 H F3 O2



RN 570360-81-5 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[[3-[4-(1H-benzimidazol-2-ylamino)phenyl]-5-isoxazolyl]methyl]-2,3,4,5-tetrahydro-2-oxo-, monoacetate (9CI) (CA INDEX NAME)

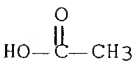
CM 1

CRN 570360-80-4
CMF C29 H25 N5 O4



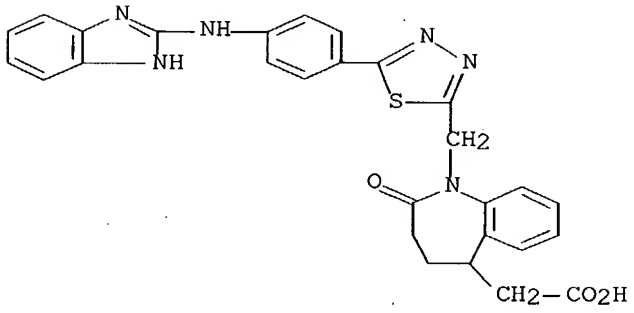
CM 2

CRN 64-19-7
CMF C2 H4 O2



RN 570360-82-6 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[[5-[4-(1H-benzimidazol-2-

ylamino)phenyl]-1,3,4-thiadiazol-2-yl]methyl]-2,3,4,5-tetrahydro-2-oxo-
(9CI) (CA INDEX NAME)

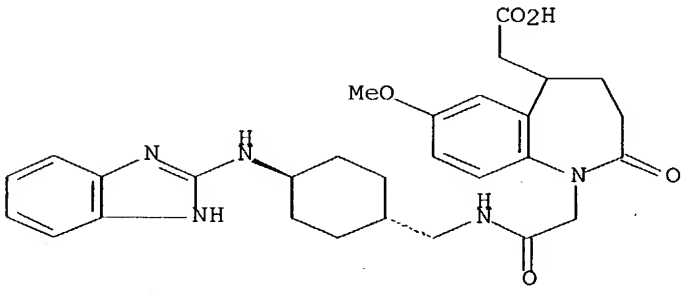


RN 570360-87-1 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[trans-4-(1H-benzimidazol-2-
ylamino)cyclohexyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-7-
methoxy-
2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

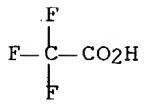
CRN 570360-86-0
CMF C29 H35 N5 O5

Relative stereochemistry.



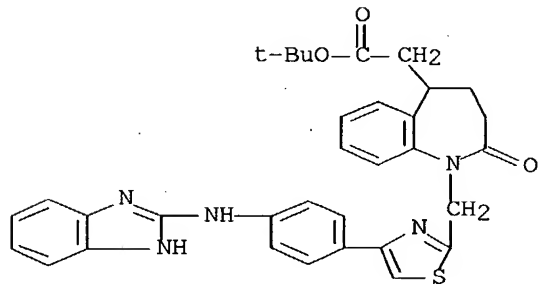
CM 2

CRN 76-05-1
CMF C2 H F3 O2

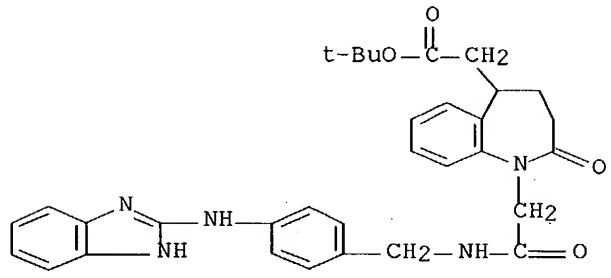


IT **380395-63-1P 380396-14-5P 380396-42-9P**
380396-43-0P 380396-44-1P 380396-45-2P
380396-46-3P 380396-52-1P 570360-70-2P
570360-92-8P 570360-95-1P 570360-97-3P
570360-98-4P 570360-99-5P 570361-00-1P
648891-87-6P 648904-23-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);
RACT
(Reactant or reagent)
(design and synthesis of 1,5- and 2,5-substituted tetrahydrobenzazepinones as novel potent and selective integrin αVβ3 antagonists)

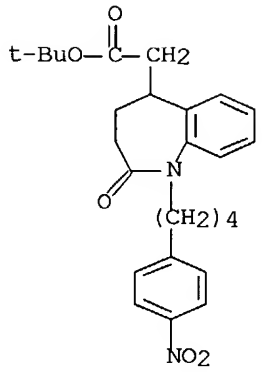
RN 380395-63-1 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[[4-[4-(1H-benzimidazol-2-ylamino)phenyl]-2-thiazolyl]methyl]-2,3,4,5-tetrahydro-2-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 380396-14-5 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[4-(1H-benzimidazol-2-ylamino)phenyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



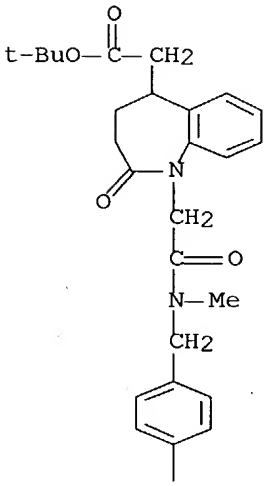
RN 380396-42-9 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 2,3,4,5-tetrahydro-1-[4-(4-nitrophenyl)butyl]-2-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 380396-43-0 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 2,3,4,5-tetrahydro-1-[2-[methyl[(4-nitrophenyl)methyl]amino]-2-oxoethyl]-2-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

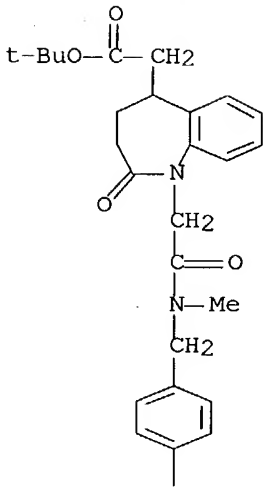


PAGE 2-A

RN 380396-44-1 CAPLUS

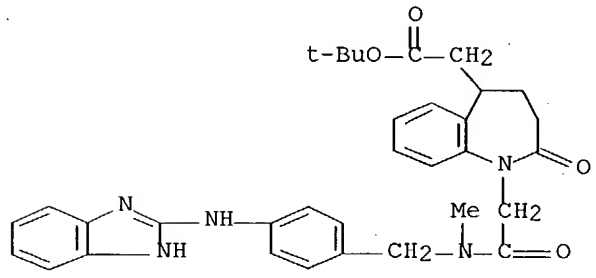
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[(4-aminophenyl)methyl]methylamino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

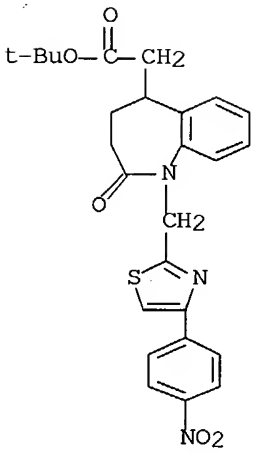


PAGE 2-A

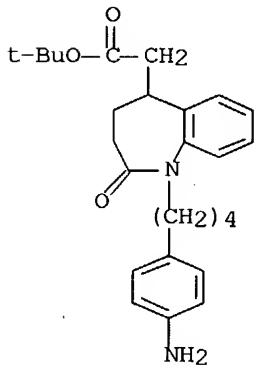
RN 380396-45-2 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[4-(1H-benzimidazol-2-ylamino)phenyl]methyl]methylamino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 380396-46-3 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 2,3,4,5-tetrahydro-1-[[4-(4-nitrophenyl)-2-thiazolyl]methyl]-2-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

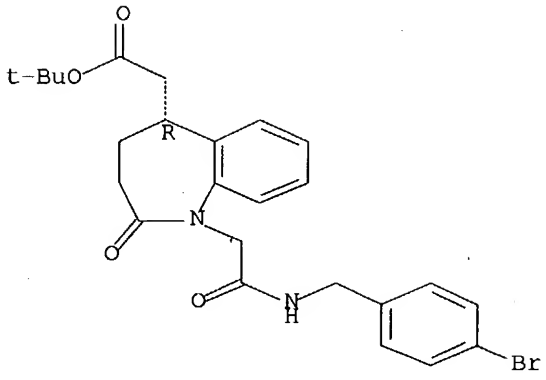


RN 380396-52-1 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[4-(4-aminophenyl)butyl]-2,3,4,5-tetrahydro-2-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

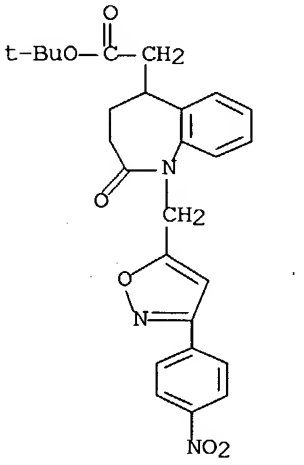


RN 570360-70-2 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[(4-bromophenyl)methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-, 1,1-dimethylethyl ester, (5R)-(9CI)
(CA INDEX NAME)

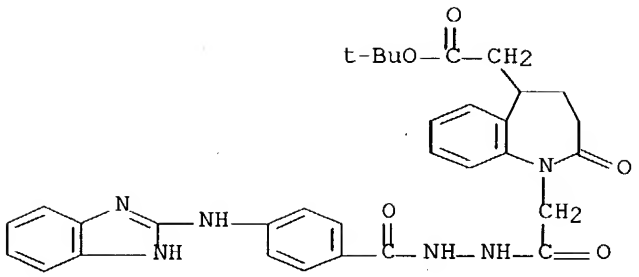
Absolute stereochemistry.



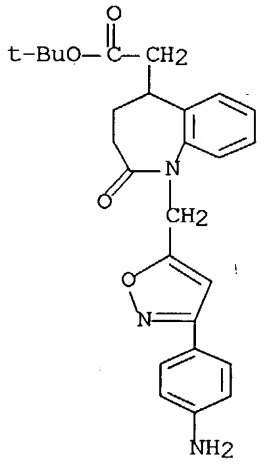
RN 570360-92-8 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 2,3,4,5-tetrahydro-1-[[3-(4-nitrophenyl)-5-
isoxazolyl]methyl]-2-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



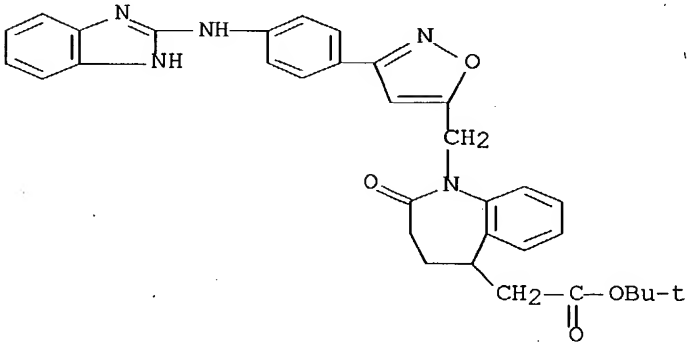
RN 570360-95-1 CAPLUS
CN 1H-1-Benzazepine-1,5-diacetic acid, 2,3,4,5-tetrahydro-2-oxo-, α5-(1,1-dimethylethyl) ester, α1-[2-[4-(1H-benzimidazol-2-ylamino)benzoyl]hydrazide] (9CI) (CA INDEX NAME)



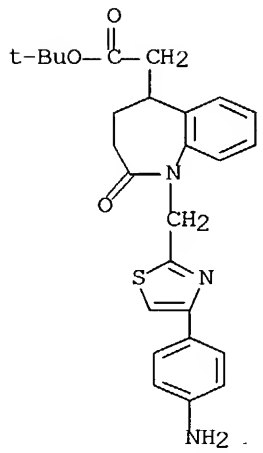
RN 570360-97-3 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[[3-(4-aminophenyl)-5-isoxazolyl]methyl]-2,3,4,5-tetrahydro-2-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



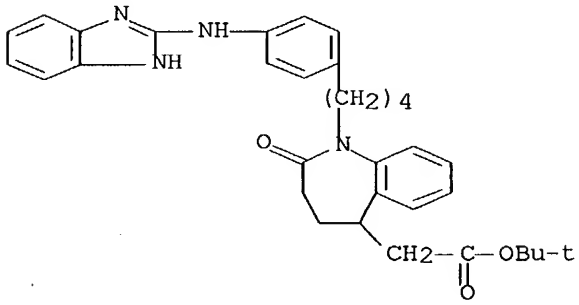
RN 570360-98-4 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[[3-[4-(1H-benzimidazol-2-ylamino)phenyl]-5-isoxazolyl]methyl]-2,3,4,5-tetrahydro-2-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 570360-99-5 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[[4-(4-aminophenyl)-2-thiazolyl]methyl]-2,3,4,5-tetrahydro-2-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 570361-00-1 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[4-[4-(1H-benzimidazol-2-ylamino)phenyl]butyl]-2,3,4,5-tetrahydro-2-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

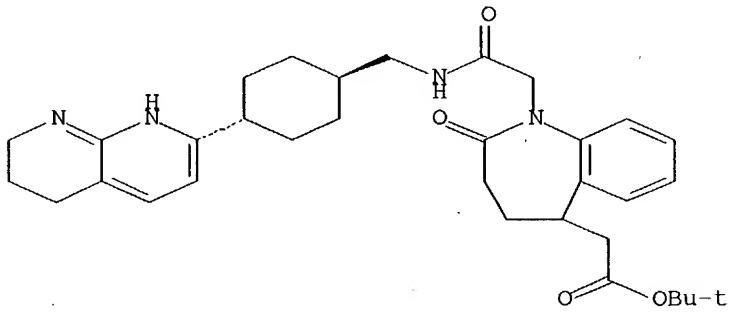


RN 648891-87-6 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 2,3,4,5-tetrahydro-2-oxo-1-[2-oxo-2-[[[trans-4-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)cyclohexyl]methyl]amino]ethyl]-, 1,1-dimethylethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

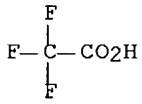
CRN 648891-86-5
CMF C33 H44 N4 O4

Relative stereochemistry.

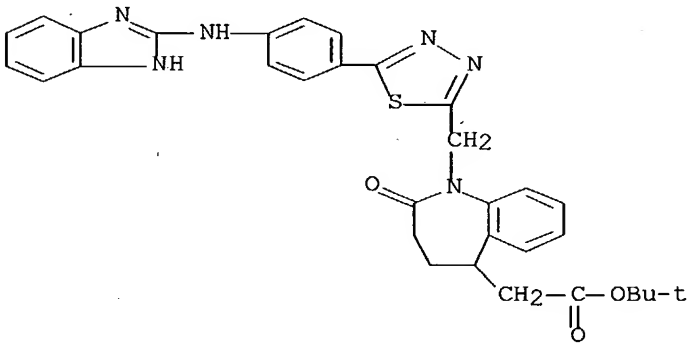


CM 2

CRN 76-05-1
CMF C2 H F3 O2

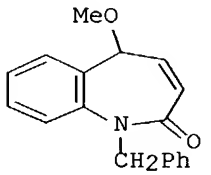


RN 648904-23-8 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[[5-[4-(1H-benzimidazol-2-ylamino)phenyl]-1,3,4-thiadiazol-2-yl]methyl]-2,3,4,5-tetrahydro-2-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

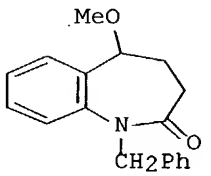


RE.CNT 71 THERE ARE 71 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:622748 CAPLUS Full-text
DN 138:55850
TI A facile access to the 1,5-dihydro- and 1,3,4,5-tetrahydrobenz[b]azepin-2-one ring systems via a new ring enlargement
AU Pauvert, Mickael; Dupont, Virginie; Guingant, Andre
CS Laboratoire de Synthese Organique, Faculte des Sciences et des Techniques, Nantes, 44322, Fr.
SO Synlett (2002), (8), 1350-1352
CODEN: SYNLES; ISSN: 0936-5214
PB Georg Thieme Verlag
DT Journal
LA English
OS CASREACT 138:55850
GI



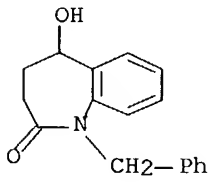
I



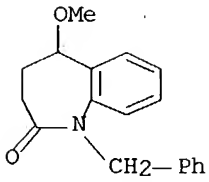
II

AB Synthesis of a 1,5-dihydrobenz[b]azepin-2-one (I) is reported. The key reaction is a silver nitrate-induced rearrangement of N-benzylquinolinium bromide. Its reduction leads to the 1,3,4,5-tetrahydrobenz[b]azepin-2-one ring system (II) found in several pharmacol. important compds.

IT **479543-81-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)(1,5-dihydro- and 1,3,4,5-tetrahydrobenz[b]azepin-2-one ring systems via ring enlargement of quinolinium bromide by silver nitrate)
RN 479543-81-2 CAPLUS
CN 2H-1-Benzazepin-2-one, 1,3,4,5-tetrahydro-5-hydroxy-1-(phenylmethyl)-(9CI) (CA INDEX NAME)



IT **479543-79-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(1,5-dihydro- and 1,3,4,5-tetrahydrobenz[b]azepin-2-one ring systems via ring enlargement of quinolinium bromide by silver nitrate)
RN 479543-79-8 CAPLUS
CN 2H-1-Benzazepin-2-one, 1,3,4,5-tetrahydro-5-methoxy-1-(phenylmethyl)-(9CI) (CA INDEX NAME)



RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

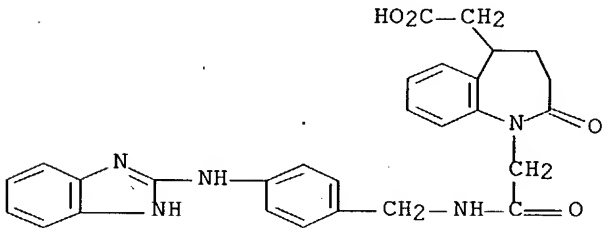
L10 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:903802 CAPLUS Full-text
DN 136:37604
TI Preparation of azolylazepinylacetates as ligands of integrin receptors.
IN Geneste, Herve; Kling, Andreas; Lange, Udo; Seitz, Werner; Graef, Claudia Isabella; Subkowski, Thomas; Hornberger, Wilfried; Lauterbach, Arnulf
PA BASF AG, Germany
SO PCT Int. Appl., 187 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 9

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001093840	A2	20011213	WO 2001-EP6397	20010606
	WO 2001093840	A3	20020808		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	DE 10027514	A1	20020103	DE 2000-10027514	20000606
	CA 2411549	AA	20021205	CA 2001-2411549	20010606
	EP 1286673	A2	20030305	EP 2001-945258	20010606
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2004501120	T2	20040115	JP 2002-501413	20010606
PRAI	DE 2000-10027514	A	20000606		
	WO 2001-EP6397	W	20010606		

OS MARPAT 136:37604
AB Use of BGL [L = UT; T = CO2H, group hydrolyzable to CO2H, or a CO2H bioisostere; U = Xa(CR1R2)b, CR1:CR2, C.tplbond.C, CR1; X = CR3R4, imino, O, S; a = 0, 1; b = 0-2; R1-R4 = H, T, OH, amino, CONH2, halo, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, alkoxy, etc.; G = specified heterocyclylene; B = structural element containing ≥1 atom which under physiol. conditions can undergo hydrogen bridge bonding] as integrin receptor ligands is claimed (no data). Thus, [5-(2-tert-butoxy-2-oxoethyl)-2-oxo-2,3,4,5-tetrahydro-1H-benzazepin-1- yl]acetic acid (preparation given) and N-[5-(aminomethyl)thiazol-2-yl]guanidine dihydrochloride (preparation given) in DMF at 0° were treated with N-methylmorpholine and TOTU to give 65% tert-Bu [1-[2-[[[2-[[amino(imino)methyl]amino]thiazol-5-yl]methyl]amino]-2-oxoethyl]-2-oxo-2,3,4,5-tetrahydro-1H-benzazepin-5-yl]acetate. Drug prepns. containing BGL and numerous other drug classes, e.g. blood platelet adhesion, activation, and aggregation inhibitors, are also claimed.
IT **380395-14-2P 380395-17-5P 380395-18-6P**
380395-19-7P 380395-20-0P 380395-21-1P
380395-22-2P 380395-23-3P 380395-24-4P
380395-25-5P 380395-26-6P 380395-27-7P
380395-28-8P 380395-29-9P 380395-30-2P
380395-32-4P 380395-33-5P 380395-34-6P
380395-37-9P 380395-39-1P 380395-40-4P

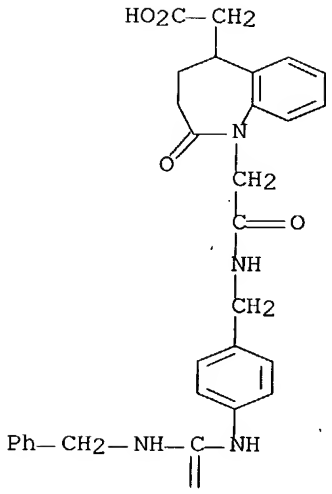
380395-41-5P 380395-43-7P 380395-52-8P
380395-53-9P 380395-54-0P 380395-55-1P
380395-56-2P 380395-57-3P 380395-58-4P
380395-59-5P 380395-60-8P 380395-61-9P
380395-63-1P 380395-64-2P 380395-65-3P
380395-66-4P 380395-67-5P 380395-68-6P
380395-69-7P 380395-70-0P 380395-71-1P
380395-72-2P 380395-73-3P 380395-75-5P
380395-76-6P 380395-78-8P 380395-80-2P
380395-82-4P 380395-84-6P 380395-87-9P
380395-89-1P 380395-91-5P 380395-94-8P
380395-95-9P 380396-06-5P 380396-07-6P
380396-08-7P 380396-09-8P 380396-10-1P
380396-11-2P 380396-12-3P 380396-13-4P
380396-14-5P 380396-15-6P 380396-16-7P
380396-17-8P 380396-18-9P 380396-19-0P
380396-20-3P 380396-21-4P 380397-18-2P
380397-19-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of azolylazepinylacetates as ligands of integrin receptors)

RN 380395-14-2 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[4-(1H-benzimidazol-2-ylamino)phenyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)



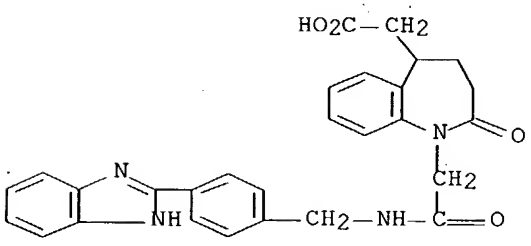
RN 380395-17-5 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 2,3,4,5-tetrahydro-2-oxo-1-[2-oxo-2-[[[4-[[[(phenylmethyl)amino]carbonyl]amino]phenyl]methyl]amino]ethyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

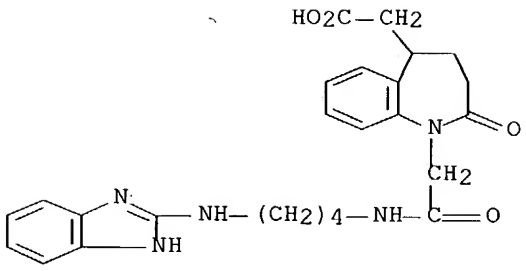


PAGE 2-A

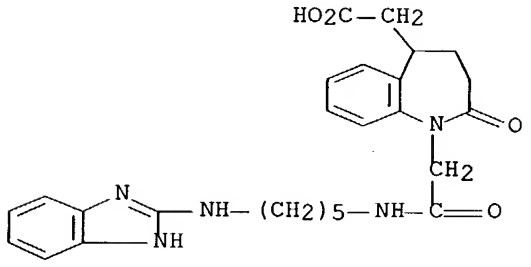
RN 380395-18-6 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[4-(1H-benzimidazol-2-yl)phenyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)



RN 380395-19-7 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[4-(1H-benzimidazol-2-ylamino)butyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)

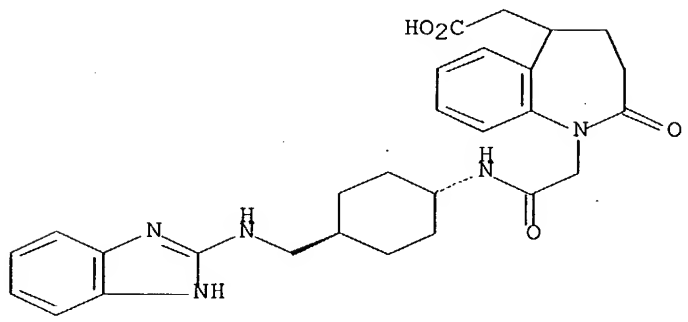


RN 380395-20-0 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[5-(1H-benzimidazol-2-ylamino)pentyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)



RN 380395-21-1 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[trans-4-[(1H-benzimidazol-2-ylamino)methyl]cyclohexyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)

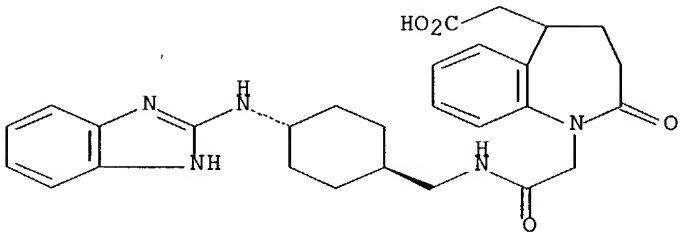
Relative stereochemistry.



RN 380395-22-2 CAPLUS

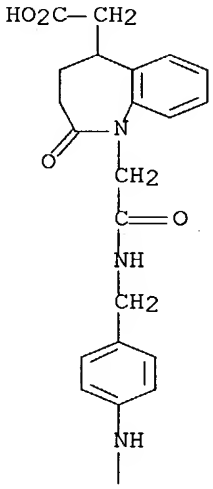
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[trans-4-(1H-benzimidazol-2-ylamino)cyclohexyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



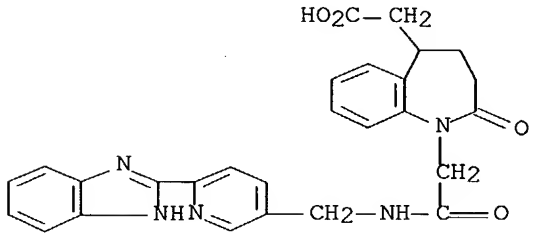
RN 380395-23-3 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 2,3,4,5-tetrahydro-2-oxo-1-[2-oxo-2-[[[4-(2-pyridinylamino)phenyl]methyl]amino]ethyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



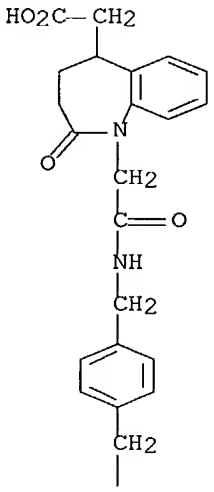
PAGE 2-A

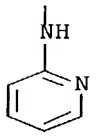
RN 380395-24-4 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[6-(1H-benzimidazol-2-yl)-3-pyridinyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-, dihydrochloride (9CI) (CA INDEX NAME)



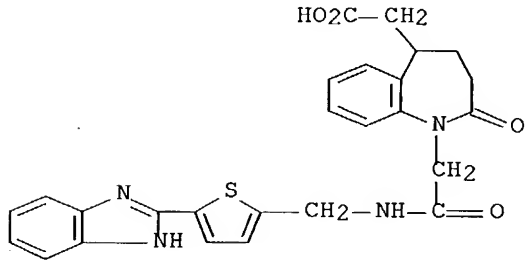
●2 HCl

RN 380395-25-5 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 2,3,4,5-tetrahydro-2-oxo-1-[2-oxo-2-[[[4-[(2-pyridinylamino)methyl]phenyl]methyl]amino]ethyl]- (9CI) (CA INDEX NAME)

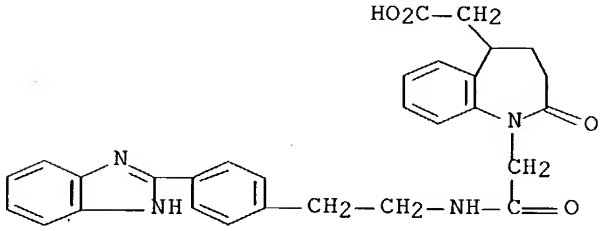




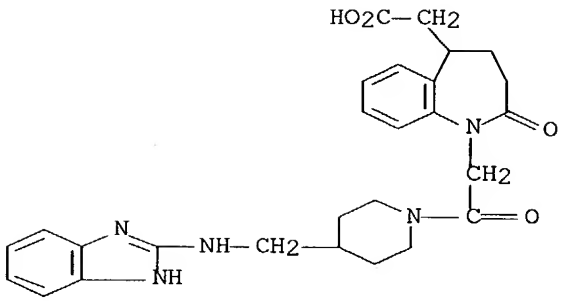
RN 380395-26-6 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[5-(1H-benzimidazol-2-yl)-2-thienyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)



RN 380395-27-7 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[2-[4-(1H-benzimidazol-2-yl)phenyl]ethyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)

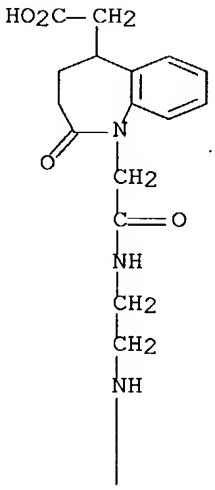


RN 380395-28-8 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[4-[(1H-benzimidazol-2-ylamino)methyl]-1-piperidinyl]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo- (9CI)
(CA INDEX NAME)



RN 380395-29-9 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 2,3,4,5-tetrahydro-2-oxo-1-[2-oxo-2-[[2-(2-
pyridinylamino)ethyl]amino]ethyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

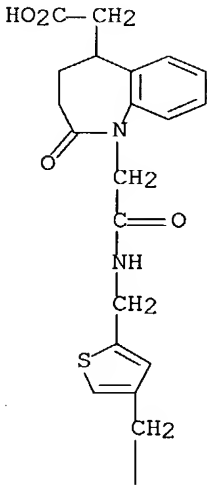


PAGE 2-A

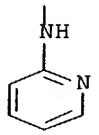
RN 380395-30-2 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 2,3,4,5-tetrahydro-2-oxo-1-[2-oxo-2-[[[4-

[(2-pyridinylamino)methyl]-2-thienyl]methyl]amino]ethyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



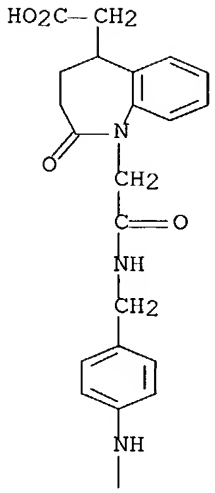
PAGE 2-A



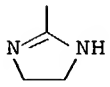
RN 380395-32-4 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[4-[(4,5-dihydro-1H-imidazol-2-yl)amino]phenyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)

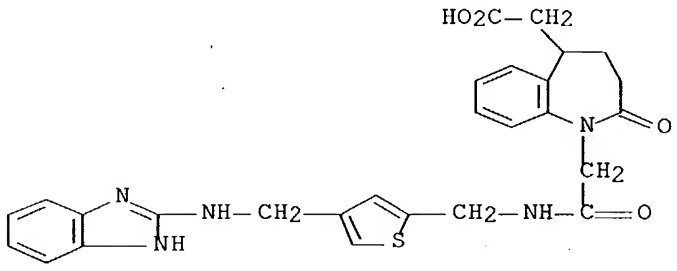
PAGE 1-A



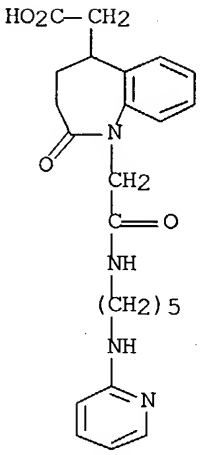
PAGE 2-A



RN 380395-33-5 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[4-[(1H-benzimidazol-2-ylamino)methyl]-2-thienyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)

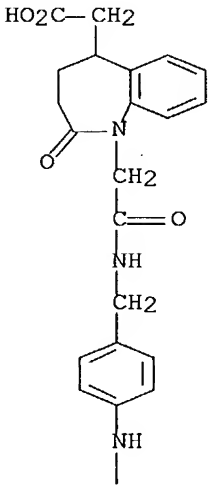


RN 380395-34-6 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 2,3,4,5-tetrahydro-2-oxo-1-[2-oxo-2-[[5-(2-pyridinylamino)pentyl]amino]ethyl]- (9CI) (CA INDEX NAME)

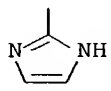


RN 380395-37-9 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 2,3,4,5-tetrahydro-1-[2-[[[4-(1H-imidazol-2-ylamino)phenyl]methyl]amino]-2-oxoethyl]-2-oxo- (9CI) (CA INDEX NAME)

PAGE 1-A

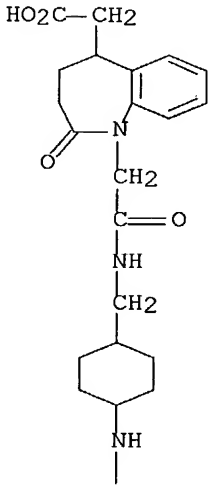


PAGE 2-A



RN 380395-39-1 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 2,3,4,5-tetrahydro-2-oxo-1-[2-oxo-2-[[[4-(2-pyridinylamino)cyclohexyl]methyl]amino]ethyl]- (9CI) (CA INDEX NAME)

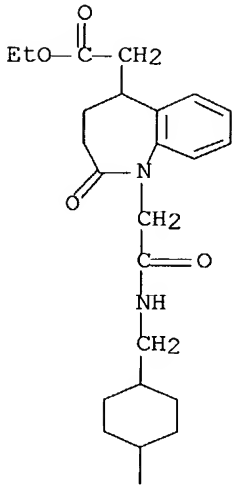
PAGE 1-A



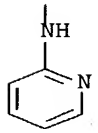
PAGE 2-A

RN 380395-40-4 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 2,3,4,5-tetrahydro-2-oxo-1-[2-oxo-2-[[[4-(2-pyridinylamino)cyclohexyl]methyl]amino]ethyl]-, ethyl ester (9CI) (CA INDEX NAME)

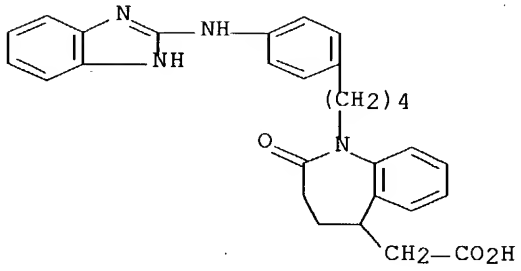
PAGE 1-A



PAGE 2-A



RN 380395-41-5 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[4-[4-(1H-benzimidazol-2-ylamino)phenyl]butyl]-2,3,4,5-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)



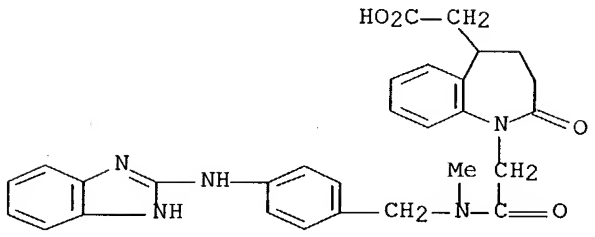
RN 380395-43-7 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[4-(1H-benzimidazol-2-ylamino)phenyl]methyl]methylamino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-

,

mono(trifluoroacetate) (9CI) (CA INDEX NAME)

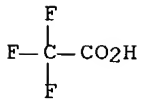
CM 1

CRN 380395-42-6
CMF C29 H29 N5 O4



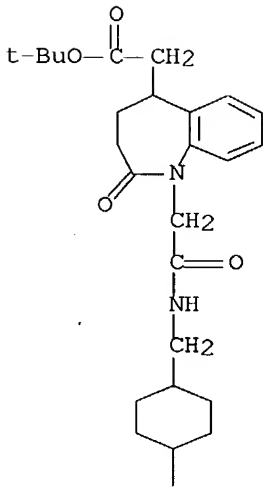
CM 2

CRN 76-05-1
CMF C2 H F3 O2

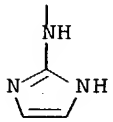


RN 380395-52-8 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 2,3,4,5-tetrahydro-1-[2-[[[4-(1H-imidazol-2-ylamino)cyclohexyl]methyl]amino]-2-oxoethyl]-2-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

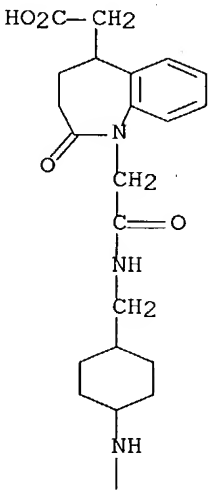


PAGE 2-A

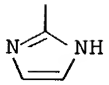


RN 380395-53-9 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 2,3,4,5-tetrahydro-1-[2-[[[4-(1H-imidazol-
2-ylamino)cyclohexyl]methyl]amino]-2-oxoethyl]-2-oxo- (9CI) (CA INDEX NAME)

PAGE 1-A

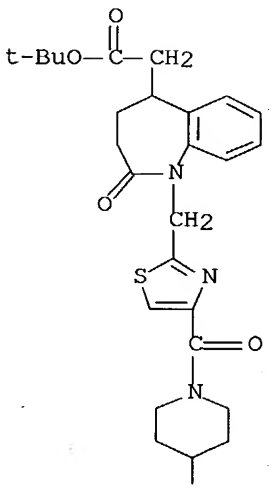


PAGE 2-A

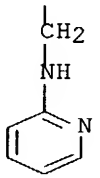


RN 380395-54-0 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 2,3,4,5-tetrahydro-2-oxo-1-[[4-[[4-[(2-pyridinylamino)methyl]-1-piperidinyl]carbonyl]-2-thiazolyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

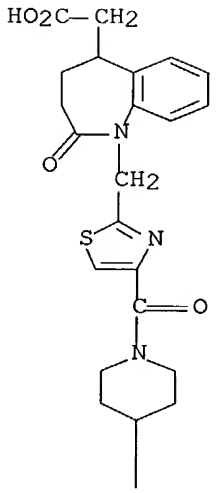


PAGE 2-A

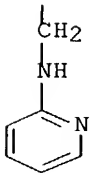


RN 380395-55-1 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 2,3,4,5-tetrahydro-2-oxo-1-[[4-[[4-[(2-pyridinylamino)methyl]-1-piperidinyl]carbonyl]-2-thiazolyl]methyl]-
(9CI)
(CA INDEX NAME)

PAGE 1-A



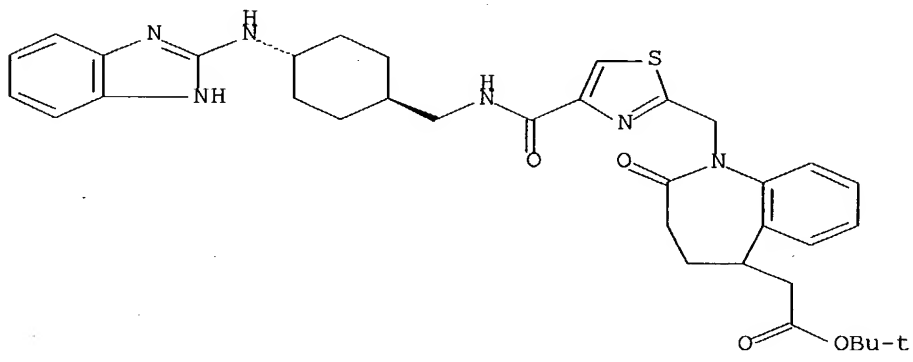
PAGE 2-A



RN 380395-56-2 CAPLUS

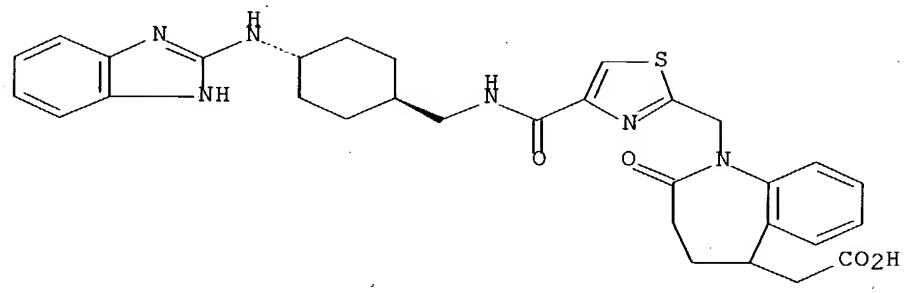
CN 1H-1-Benzazepine-5-acetic acid, 1-[[4-[[[[trans-4-(1H-benzimidazol-2-ylamino)cyclohexyl]methyl]amino]carbonyl]-2-thiazolyl]methyl]-2,3,4,5-tetrahydro-2-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.

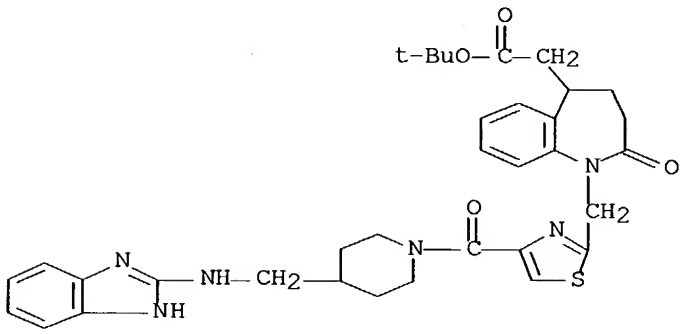


RN 380395-57-3 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[[4-[[[[trans-4-(1H-benzimidazol-2-ylamino)cyclohexyl]methyl]amino]carbonyl]-2-thiazolyl]methyl]-2,3,4,5-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)

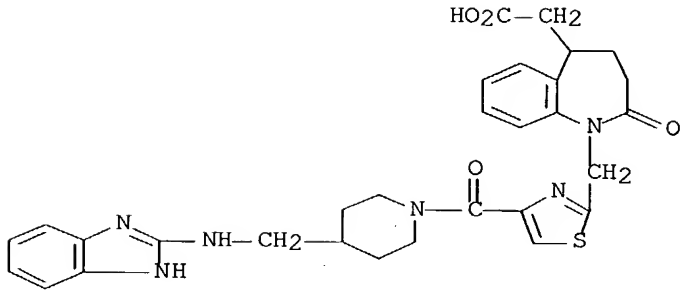
Relative stereochemistry.



RN 380395-58-4 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[[4-[[4-[(1H-benzimidazol-2-ylamino)methyl]-1-piperidinyl]carbonyl]-2-thiazolyl]methyl]-2,3,4,5-tetrahydro-2-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

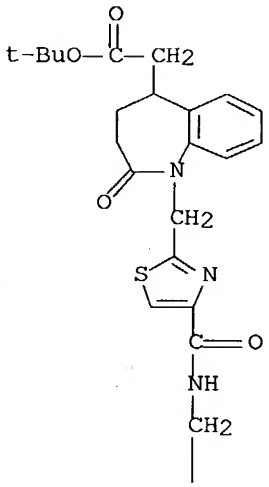


RN 380395-59-5 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[[4-[[4-[(1H-benzimidazol-2-ylamino)methyl]-1-piperidinyl]carbonyl]-2-thiazolyl]methyl]-2,3,4,5-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)

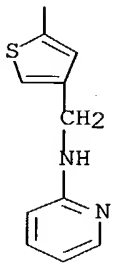


RN 380395-60-8 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 2,3,4,5-tetrahydro-2-oxo-1-[[4-[[[[4-[(2-pyridinylamino)methyl]-2-thienyl]methyl]amino]carbonyl]-2-thiazolyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

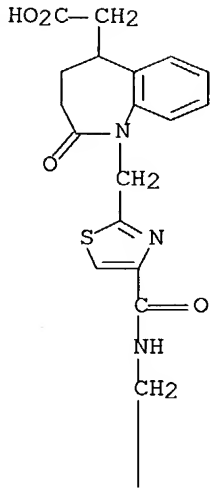


PAGE 2-A

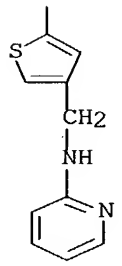


RN 380395-61-9 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 2,3,4,5-tetrahydro-2-oxo-1-[[4-[[[[4-[(2-pyridinylamino)methyl]-2-thienyl]methyl]amino]carbonyl]-2-thiazolyl]methyl]- (9CI) (CA INDEX NAME)

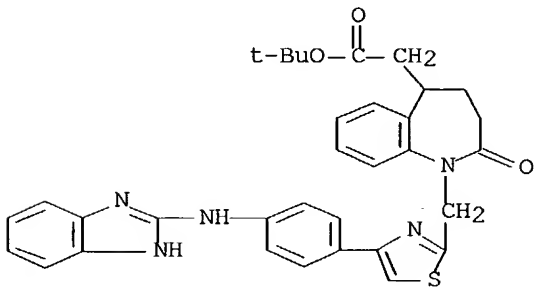
PAGE 1-A



PAGE 2-A

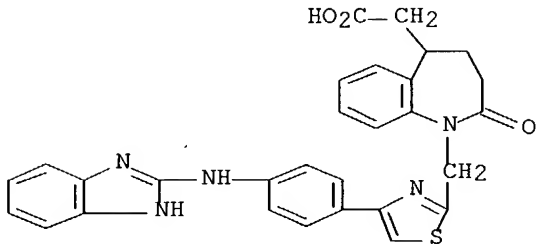


RN 380395-63-1 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[[4-[4-(1H-benzimidazol-2-ylamino)phenyl]-2-thiazolyl]methyl]-2,3,4,5-tetrahydro-2-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



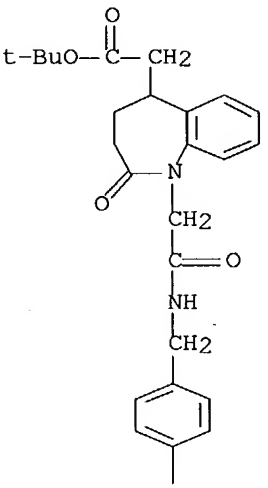
RN 380395-64-2 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[[4-[4-(1H-benzimidazol-2-

ylamino)phenyl]-2-thiazolyl]methyl]-2,3,4,5-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)

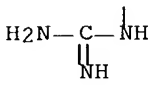


RN 380395-65-3 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[4-[(aminoiminomethyl)amino]phenyl] methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

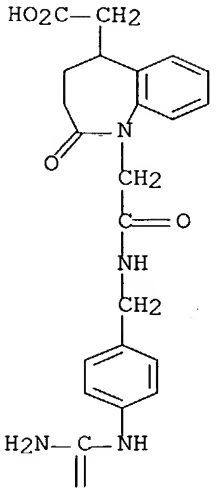


PAGE 2-A



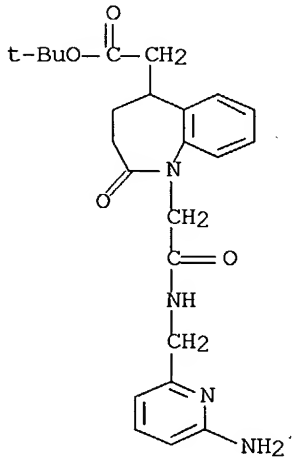
RN 380395-66-4 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[4-[(aminoiminomethyl)amino]phenyl] methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)

PAGE 1-A

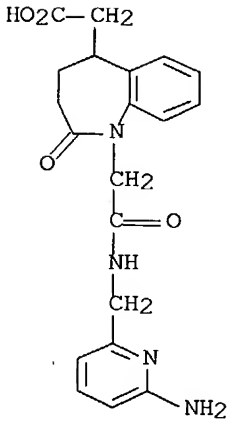


PAGE 2-A

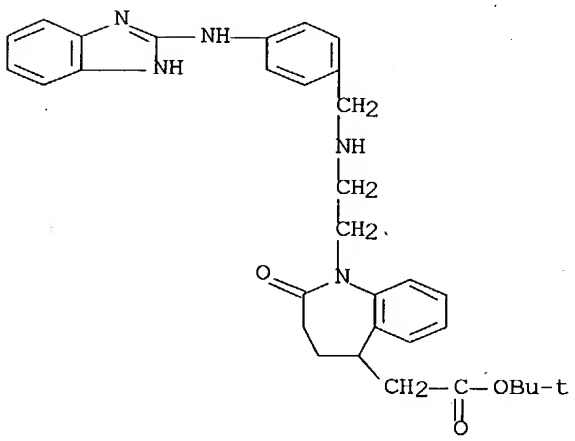
RN 380395-67-5 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[(6-amino-2-pyridinyl)methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



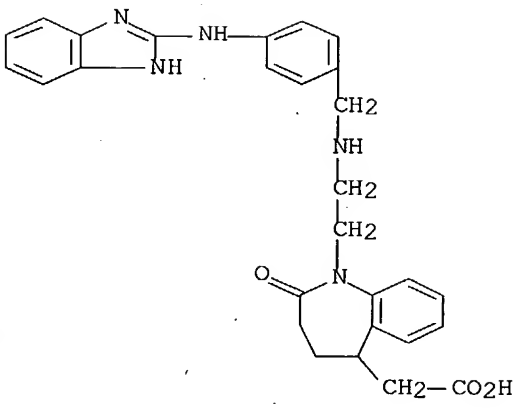
RN 380395-68-6 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[(6-amino-2-pyridinyl)methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)



RN 380395-69-7 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[4-(1H-benzimidazol-2-ylamino)phenyl]methyl]amino]ethyl]-2,3,4,5-tetrahydro-2-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

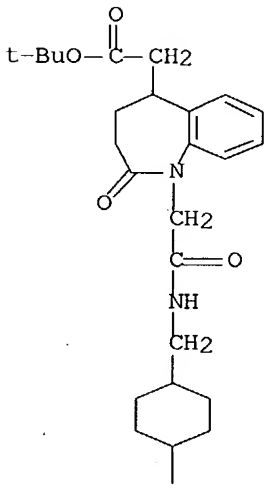


RN 380395-70-0 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[4-(1H-benzimidazol-2-ylamino)phenyl]methyl]amino]ethyl]-2,3,4,5-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)

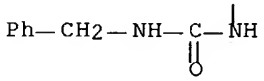


RN 380395-71-1 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 2,3,4,5-tetrahydro-2-oxo-1-[2-oxo-2-[[[4-[[[(phenylmethyl)amino]carbonyl]amino]cyclohexyl]methyl]amino]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

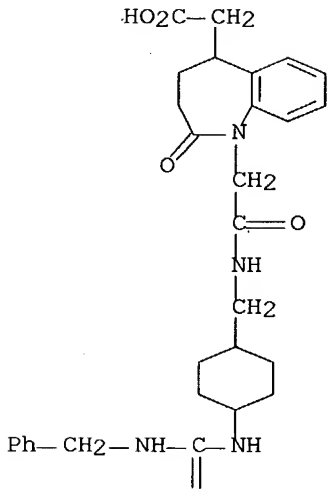


PAGE 2-A



RN 380395-72-2 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 2,3,4,5-tetrahydro-2-oxo-1-[2-oxo-2-[[[4-[[[(phenylmethyl)amino]carbonyl]amino]cyclohexyl]methyl]amino]ethyl]- (9CI) (CA INDEX NAME)

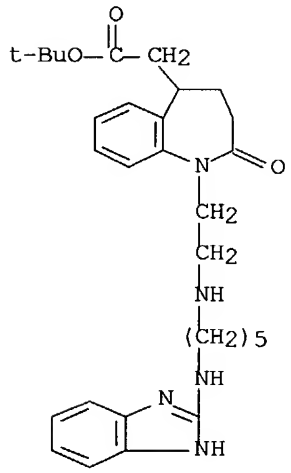
PAGE 1-A



PAGE 2-A

RN 380395-73-3 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[5-(1H-benzimidazol-2-ylamino)pentyl]amino]ethyl]-2,3,4,5-tetrahydro-2-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



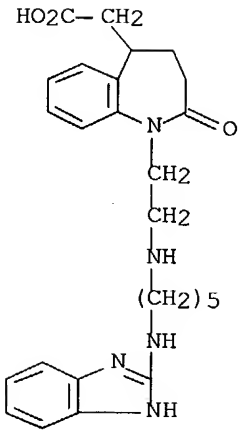
RN 380395-75-5 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[5-(1H-benzimidazol-2-ylamino)pentyl]amino]ethyl]-2,3,4,5-tetrahydro-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

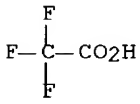
CRN 380395-74-4

CMF C26 H33 N5 O3



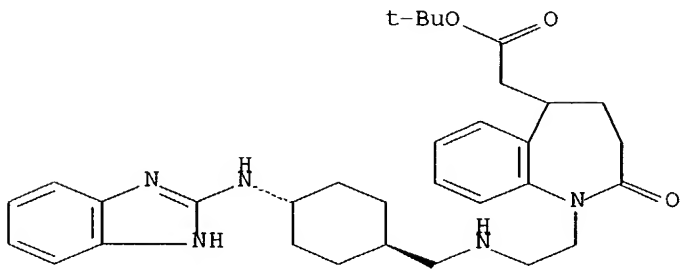
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 380395-76-6 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[trans-4-(1H-benzimidazol-2-ylamino)cyclohexyl]methyl]amino]ethyl]-2,3,4,5-tetrahydro-2-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.



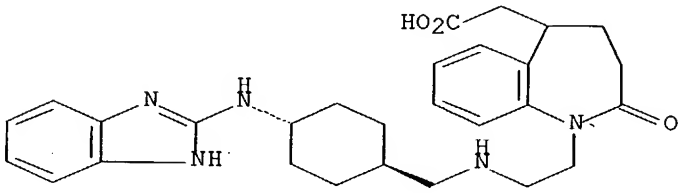
RN 380395-78-8 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[trans-4-(1H-benzimidazol-2-

ylamino)cyclohexyl]methyl]amino]ethyl]-2,3,4,5-tetrahydro-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

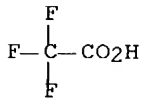
CRN 380395-77-7
CMF C28 H35 N5 O3

Relative stereochemistry.



CM 2

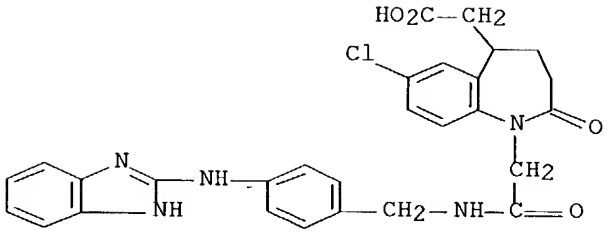
CRN 76-05-1
CMF C2 H F3 O2



RN 380395-80-2 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[4-(1H-benzimidazol-2-ylamino)phenyl]methyl]amino]-2-oxoethyl]-7-chloro-2,3,4,5-tetrahydro-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

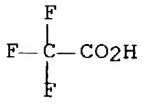
CM 1

CRN 380395-79-9
CMF C28 H26 Cl N5 O4



CM 2

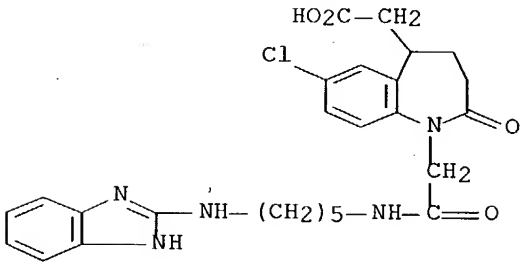
CRN 76-05-1
CMF C2 H F3 O2



RN 380395-82-4 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[5-(1H-benzimidazol-2-ylamino)pentyl]amino]-2-oxoethyl]-7-chloro-2,3,4,5-tetrahydro-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

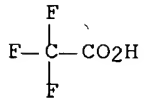
CRN 380395-81-3
CMF C26 H30 Cl N5 O4



CM 2

CRN 76-05-1

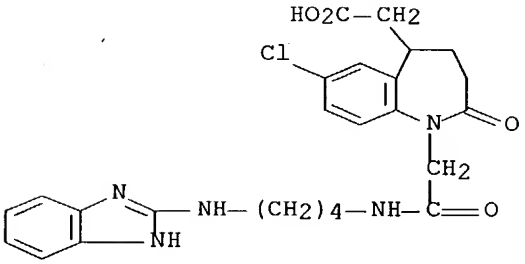
CMF C2 H F3 O2



RN 380395-84-6 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[4-(1H-benzimidazol-2-ylamino)butyl]amino]-2-oxoethyl]-7-chloro-2,3,4,5-tetrahydro-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

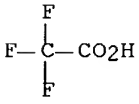
CM 1

CRN 380395-83-5
CMF C25 H28 Cl N5 O4

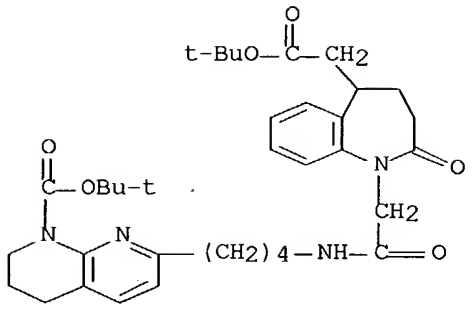


CM 2

CRN 76-05-1
CMF C2 H F3 O2



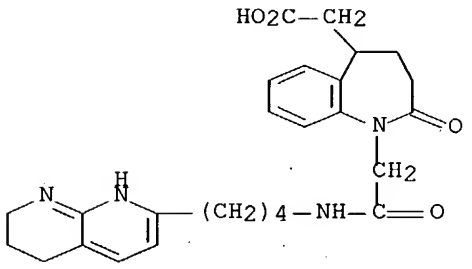
RN 380395-87-9 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[4-[8-[(1,1-dimethylethoxy)carbonyl]-5,6,7,8-tetrahydro-1,8-naphthyridin-2-yl]butyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 380395-89-1 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 2,3,4,5-tetrahydro-2-oxo-1-[2-oxo-2-[[4-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)butyl]amino]ethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

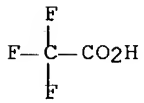
CM 1

CRN 380395-88-0
CMF C26 H32 N4 O4



CM 2

CRN 76-05-1
CMF C2 H F3 O2

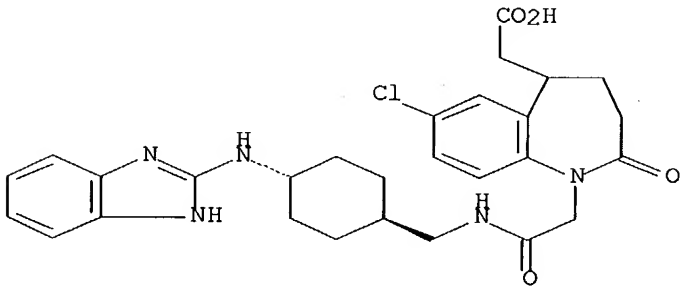


RN 380395-91-5 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[trans-4-(1H-benzimidazol-2-ylamino)cyclohexyl]methyl]amino]-2-oxoethyl]-7-chloro-2,3,4,5-tetrahydro-2-oxo-, monoacetate (9CI) (CA INDEX NAME)

CM 1

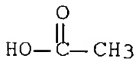
CRN 380395-90-4
CMF C28 H32 Cl N5 O4

Relative stereochemistry.



CM 2

CRN 64-19-7
CMF C2 H4 O2

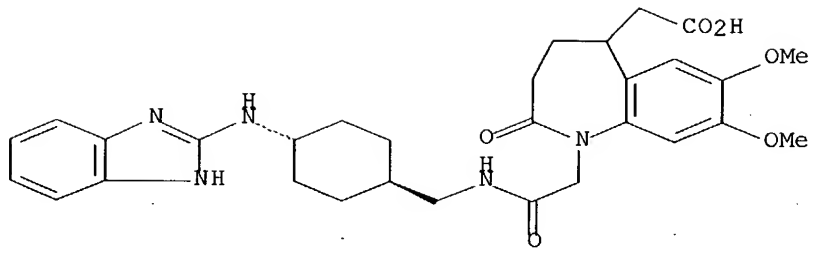


RN 380395-94-8 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[trans-4-(1H-benzimidazol-2-ylamino)cyclohexyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-7,8-dimethoxy-2-oxo-, monoacetate (9CI) (CA INDEX NAME)

CM 1

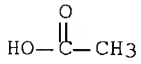
CRN 380395-93-7
CMF C30 H37 N5 O6

Relative stereochemistry.

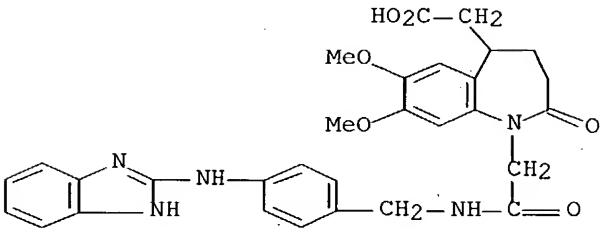


CM 2

CRN 64-19-7
CMF C2 H4 O2



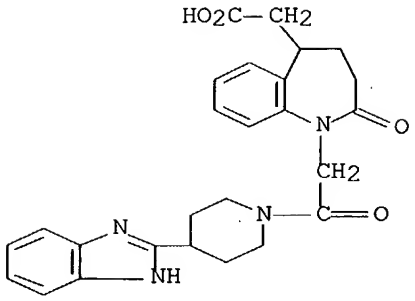
RN 380395-95-9 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[4-(1H-benzimidazol-2-ylamino)phenyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-7,8-dimethoxy-2-oxo- (9CI) (CA INDEX NAME)



RN 380396-06-5 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[4-(1H-benzimidazol-2-yl)-1-piperidinyl]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-, acetate (9CI) (CA INDEX NAME)

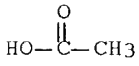
CM 1

CRN 380396-05-4
CMF C26 H28 N4 O4

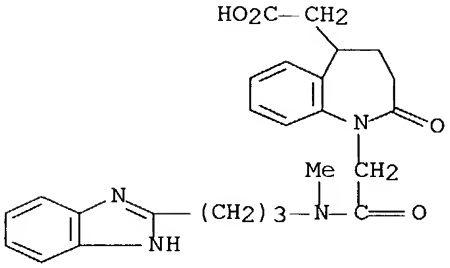


CM 2

CRN 64-19-7
CMF C2 H4 O2

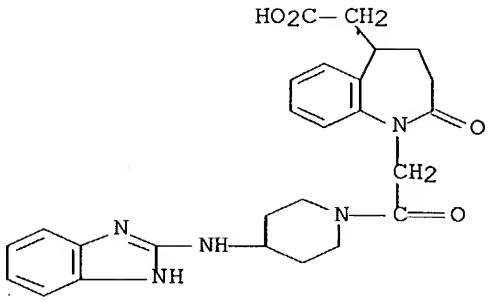


RN 380396-07-6 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[3-(1H-benzimidazol-2-yl)propyl]methylamino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-, hydrochloride (9CI) (CA INDEX NAME)

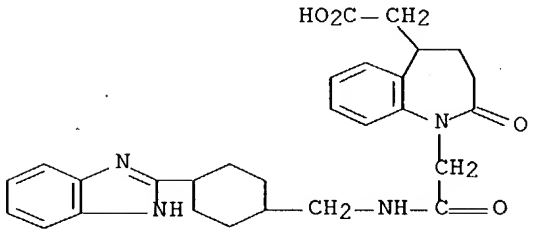


●x HCl

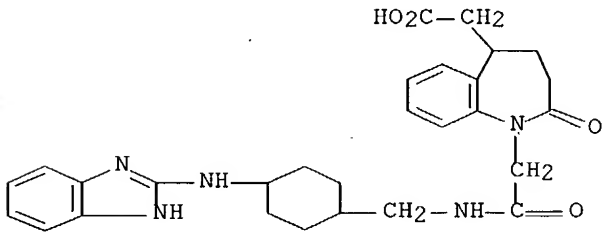
RN 380396-08-7 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[4-(1H-benzimidazol-2-ylamino)-1-piperidinyl]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)



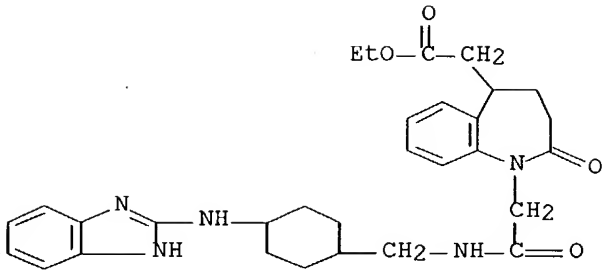
RN 380396-09-8 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[4-(1H-benzimidazol-2-yl)cyclohexyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)



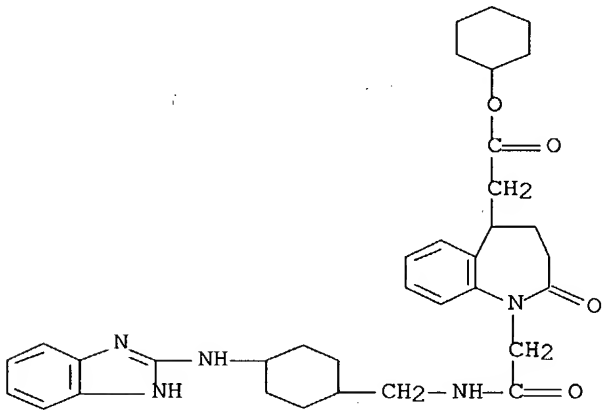
RN 380396-10-1 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[4-(1H-benzimidazol-2-ylamino)cyclohexyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)



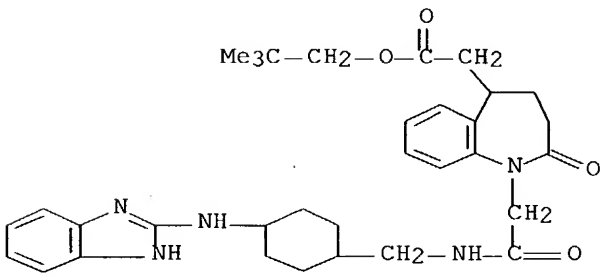
RN 380396-11-2 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[4-(1H-benzimidazol-2-ylamino)cyclohexyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-, ethyl ester (9CI) (CA INDEX NAME)



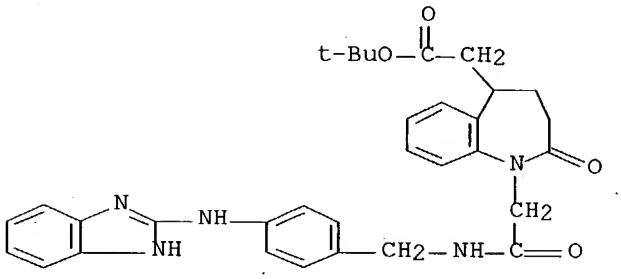
RN 380396-12-3 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[4-(1H-benzimidazol-2-ylamino)cyclohexyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-, cyclohexyl ester (9CI) (CA INDEX NAME)



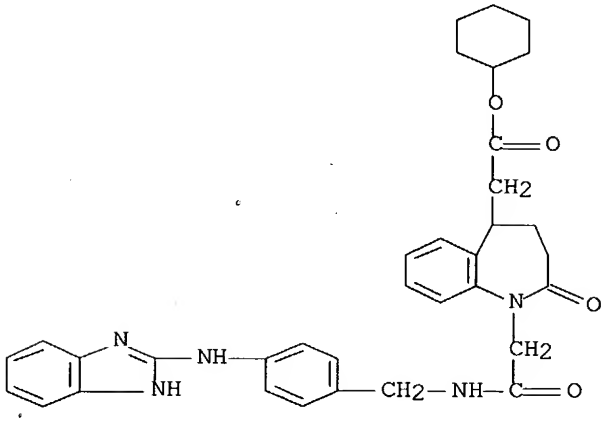
RN 380396-13-4 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[4-(1H-benzimidazol-2-ylamino)cyclohexyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-, 2,2-dimethylpropyl ester (9CI) (CA INDEX NAME)



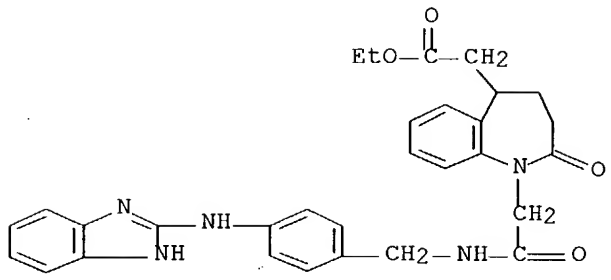
RN 380396-14-5 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[4-(1H-benzimidazol-2-ylamino)phenyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 380396-15-6 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[4-(1H-benzimidazol-2-ylamino)phenyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-, cyclohexyl ester (9CI) (CA INDEX NAME)

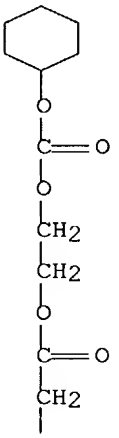


RN 380396-16-7 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[4-(1H-benzimidazol-2-ylamino)phenyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-, ethyl ester (9CI) (CA INDEX NAME)

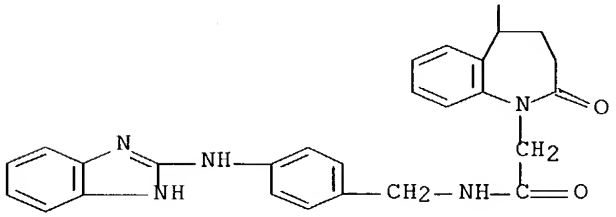


RN 380396-17-8 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[4-(1H-benzimidazol-2-ylamino)phenyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-, 2-[[(cyclohexyloxy)carbonyl]oxy]ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

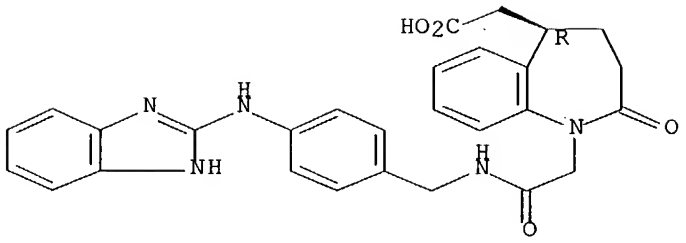


PAGE 2-A



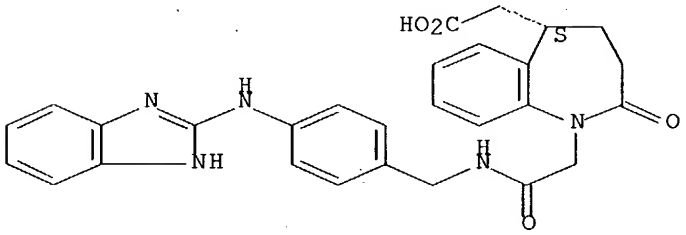
RN 380396-18-9 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[4-(1H-benzimidazol-2-ylamino)phenyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-, (5R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



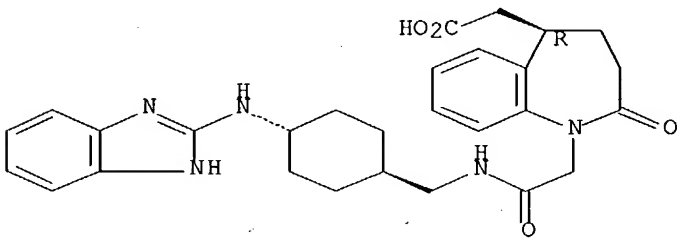
RN 380396-19-0 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[4-(1H-benzimidazol-2-ylamino)phenyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-, (5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



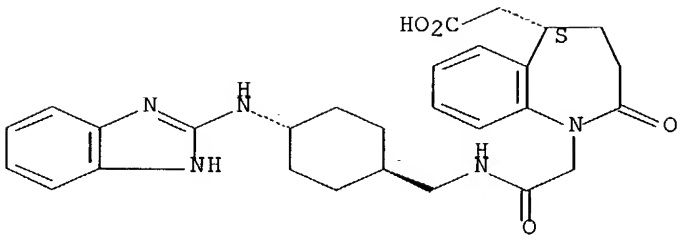
RN 380396-20-3 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[trans-4-(1H-benzimidazol-2-ylamino)cyclohexyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

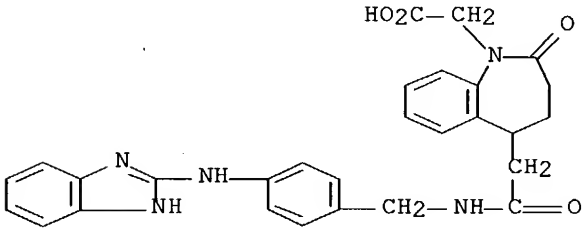


RN 380396-21-4 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[trans-4-(1H-benzimidazol-2-ylamino)cyclohexyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-, (5S)- (9CI) (CA INDEX NAME)

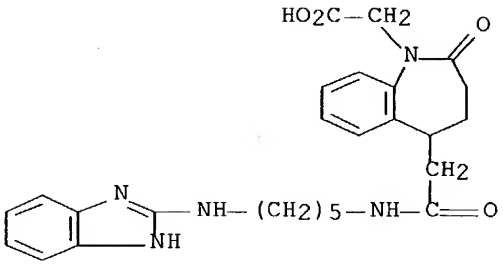
Absolute stereochemistry. Rotation (+).



RN 380397-18-2 CAPLUS
CN 1H-1-Benzazepine-1-acetic acid, 5-[2-[[[4-(1H-benzimidazol-2-ylamino)phenyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)



RN 380397-19-3 CAPLUS
CN 1H-1-Benzazepine-1-acetic acid, 5-[2-[[5-(1H-benzimidazol-2-ylamino)pentyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)

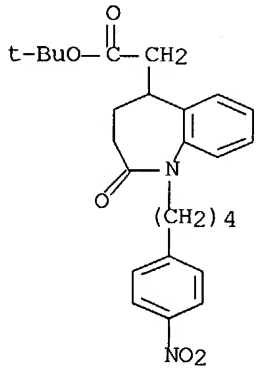


IT **380396-42-9P 380396-43-0P 380396-44-1P**
380396-45-2P 380396-46-3P 380396-52-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of azolylazepinylacetates as ligands of integrin

receptors)

RN 380396-42-9 CAPLUS

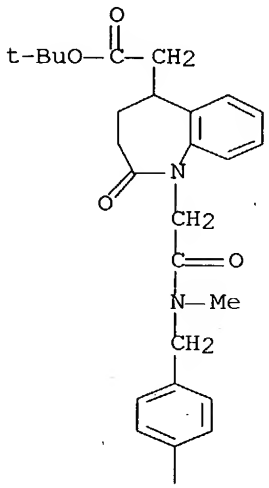
CN 1H-1-Benzazepine-5-acetic acid, 2,3,4,5-tetrahydro-1-[4-(4-nitrophenyl)butyl]-2-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 380396-43-0 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 2,3,4,5-tetrahydro-1-[2-[methyl[(4-nitrophenyl)methyl]amino]-2-oxoethyl]-2-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

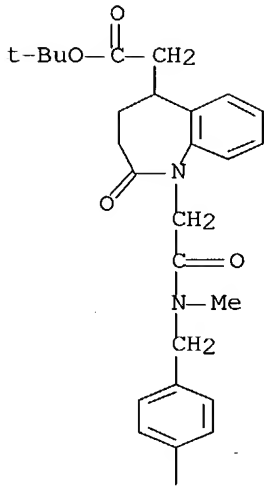


PAGE 2-A

RN 380396-44-1 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[(4-aminophenyl)methyl]methylamino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

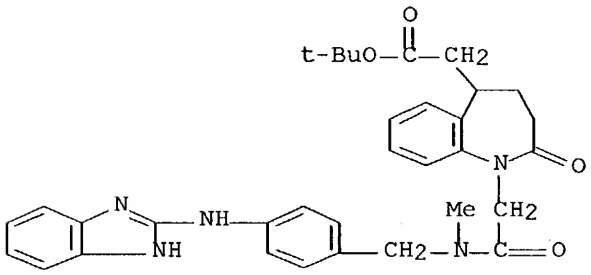
PAGE 1-A



PAGE 2-A

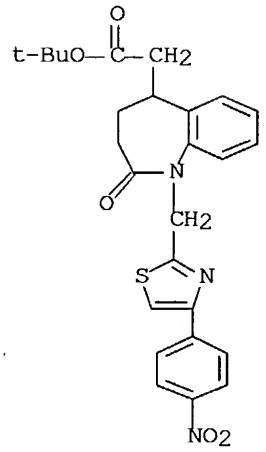
RN 380396-45-2 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[4-(1H-benzimidazol-2-ylamino)phenyl]methyl]methylamino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



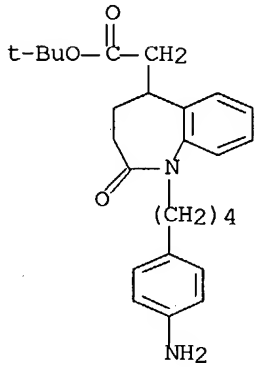
RN 380396-46-3 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 2,3,4,5-tetrahydro-1-[[4-(4-nitrophenyl)-2-thiazolyl]methyl]-2-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

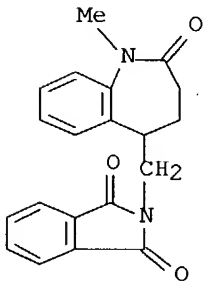


RN 380396-52-1 CAPLUS

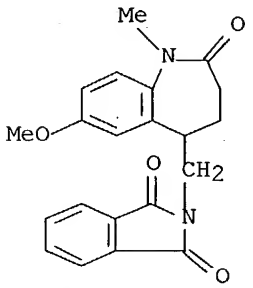
CN 1H-1-Benzazepine-5-acetic acid, 1-[4-(4-aminophenyl)butyl]-2,3,4,5-tetrahydro-2-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L10 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:145761 CAPLUS Full-text
DN 132:293604
TI An expedient construction of seven-membered rings adjoining aromatic systems
AU Kaoudi, Talbi; Quiclet-Sire, Beatrice; Seguin, Stephanie; Zard, Samir Z.
CS Institut de Chimie des Substances Naturelles, Gif-Sur-Yvette, 91198, Fr.
SO Angewandte Chemie, International Edition (2000), 39(4), 731-733
CODEN: ACIEF5; ISSN: 1433-7851
PB Wiley-VCH Verlag GmbH
DT Journal
LA English
OS CASREACT 132:293604
AB A flexible, convergent route to complex aromatic structures containing an adjoining seven-membered ring was described. For example, the lauroyl peroxide-induced radical cyclization of a xanthate, i.e., carbonodithioic acid S-[2-[[2-(3,4-dimethoxyphenyl)ethyl](2-phenylethyl)amino]-2-oxoethyl] O-Et ester, gave 1,3,4,5-tetrahydro-7,8-dimethoxy-3-(2-phenylethyl)-2H-3- benzazepin-2-one.
IT **264877-42-1P 264877-43-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of seven-membered rings adjoining aromatic systems via radical cyclization of xanthate precursors)
RN 264877-42-1 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[(2,3,4,5-tetrahydro-1-methyl-2-oxo-1H-1-benzazepin-5-yl)methyl]- (9CI) (CA INDEX NAME)



RN 264877-43-2 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[(2,3,4,5-tetrahydro-7-methoxy-1-methyl-2-oxo-1H-1-benzazepin-5-yl)methyl]- (9CI) (CA INDEX NAME)

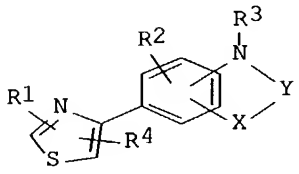


RE.CNT 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

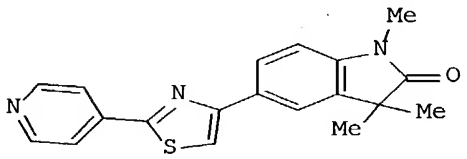
L10 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1999:222934 CAPLUS Full-text
DN 130:252351
TI Preparation of thiazoles as antiinflammatories
IN Tsuji, Kiyoshi; Tabuchi, Seiichiro; Eikyu, Yoshiteru; Tojo, Takashi
PA Fujisawa Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 147 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9915524	A1	19990401	WO 1998-JP4275	19980922
	W: AU, BR, CA, CN, HU, JP, KR, MX, RU, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9890966	A1	19990412	AU 1998-90966	19980922
	JP 2001517666	T2	20011009	JP 2000-512829	19980922
PRAI	AU 1997-9367	A	19970923		
	AU 1998-3591	A	19980519		
	WO 1998-JP4275	W	19980922		

OS MARPAT 130:252351
GI



I

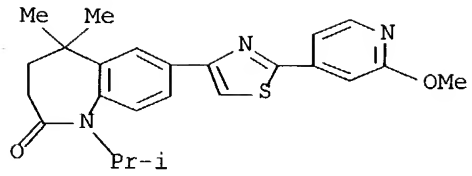


II

AB The title compds. [I; R1 = NH2, alkylamino, (un)substituted heterocyclic ring containing nitrogen, etc.; R2 = H, OH, alkyl, alkoxy; R3 = H, (un)substituted alkyl, acyl, cycloalkyl; R2 and R3 may be linked together to form lower alkylene; R4 = H, alkyl, halo, alkylthio; X = (un)substituted alkylene, cycloalkylidene, CO, S; Y = (un)substituted alkylene; X and Y may be linked together to form alkenylene; X and N are resp. bonded to the adjoining carbon atoms on the benzene ring], useful in the treatment of inflammatory conditions, autoimmune diseases, INF-γ mediated diseases and TNF-mediated diseases, were prepared Thus, treatment of a mixture of 5-[2-(4-pyridyl)thiazol-4-yl]oxindole and NaH in DMF with MeI afforded II which showed 91.6% inhibition of Con A-induced hepatitis in mice at 32 mg/kg.

IT **221691-91-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of thiazoles as antiinflammatories)

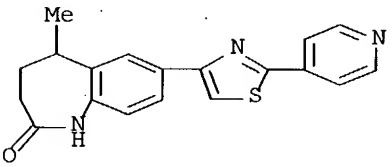
RN 221691-91-4 CAPLUS
CN 2H-1-Benzazepin-2-one, 1,3,4,5-tetrahydro-7-[2-(2-methoxy-4-pyridinyl)-4-thiazolyl]-5,5-dimethyl-1-(1-methylethyl)- (9CI) (CA INDEX NAME)



IT **221691-01-6P 221691-02-7P 221691-15-2P**
221691-16-3P 221691-88-9P 221691-89-0P
221691-90-3P 221691-92-5P 221691-93-6P
221691-94-7P 221691-95-8P 221691-96-9P
221691-97-0P 221691-98-1P 221691-99-2P
221692-00-8P 221692-06-4P 221692-07-5P
221692-08-6P 221692-09-7P 221692-10-0P
221692-11-1P 221692-12-2P 221692-13-3P
221692-14-4P 221692-15-5P 221692-19-9P
221692-24-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biologicalstudy, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)(preparation of thiazoles as antiinflammatories)

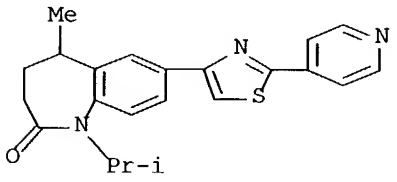
RN 221691-01-6 CAPLUS

CN 2H-1-Benzazepin-2-one, 1,3,4,5-tetrahydro-5-methyl-7-[2-(4-pyridinyl)-4-thiazolyl]- (9CI) (CA INDEX NAME)



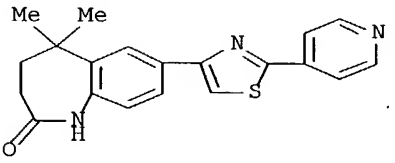
RN 221691-02-7 CAPLUS

CN 2H-1-Benzazepin-2-one, 1,3,4,5-tetrahydro-5-methyl-1-(1-methylethyl)-7-[2-(4-pyridinyl)-4-thiazolyl]- (9CI) (CA INDEX NAME)



RN 221691-15-2 CAPLUS

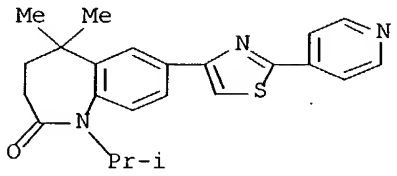
CN 2H-1-Benzazepin-2-one, 1,3,4,5-tetrahydro-5,5-dimethyl-7-[2-(4-pyridinyl)-4-thiazolyl]- (9CI) (CA INDEX NAME)



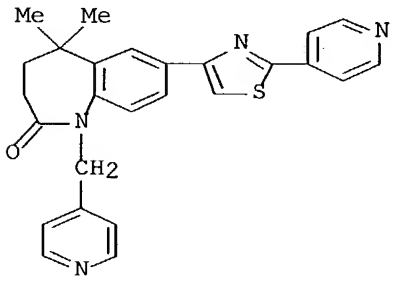
RN 221691-16-3 CAPLUS

CN 2H-1-Benzazepin-2-one, 1,3,4,5-tetrahydro-5,5-dimethyl-1-(1

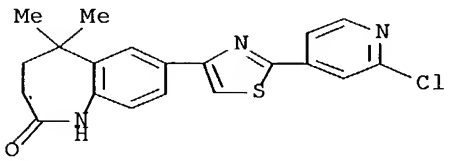
methylethyl)-7-[2-(4-pyridinyl)-4-thiazolyl]- (9CI) (CA INDEX NAME)



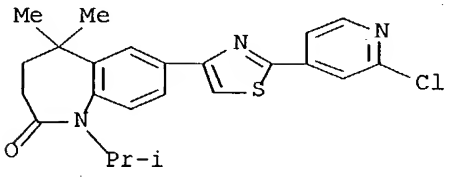
RN 221691-88-9 CAPLUS
CN 2H-1-Benzazepin-2-one, 1,3,4,5-tetrahydro-5,5-dimethyl-1-(4-pyridinylmethyl)-7-[2-(4-pyridinyl)-4-thiazolyl]- (9CI) (CA INDEX NAME)



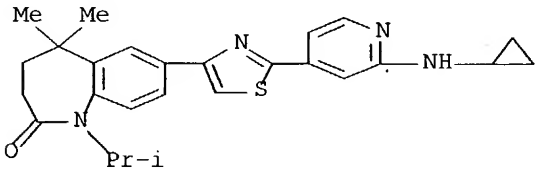
RN 221691-89-0 CAPLUS
CN 2H-1-Benzazepin-2-one, 7-[2-(2-chloro-4-pyridinyl)-4-thiazolyl]-1,3,4,5-tetrahydro-5,5-dimethyl- (9CI) (CA INDEX NAME)



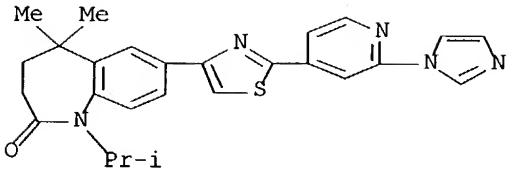
RN 221691-90-3 CAPLUS
CN 2H-1-Benzazepin-2-one, 7-[2-(2-chloro-4-pyridinyl)-4-thiazolyl]-1,3,4,5-tetrahydro-5,5-dimethyl-1-(1-methylethyl)- (9CI) (CA INDEX NAME)



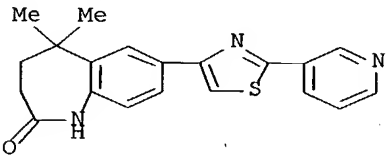
RN 221691-92-5 CAPLUS
CN 2H-1-Benzazepin-2-one, 7-[2-[2-(cyclopropylamino)-4-pyridinyl]-4-thiazolyl]-1,3,4,5-tetrahydro-5,5-dimethyl-1-(1-methylethyl)- (9CI) (CA INDEX NAME)



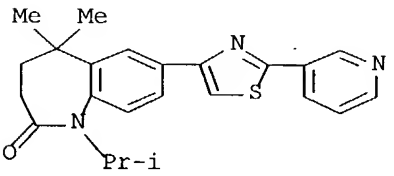
RN 221691-93-6 CAPLUS
CN 2H-1-Benzazepin-2-one, 1,3,4,5-tetrahydro-7-[2-[2-(1H-imidazol-1-yl)-4-pyridinyl]-4-thiazolyl]-5,5-dimethyl-1-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 221691-94-7 CAPLUS
CN 2H-1-Benzazepin-2-one, 1,3,4,5-tetrahydro-5,5-dimethyl-7-[2-(3-pyridinyl)-4-thiazolyl]- (9CI) (CA INDEX NAME)

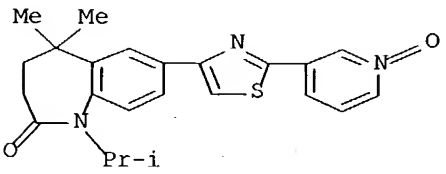


RN 221691-95-8 CAPLUS
CN 2H-1-Benzazepin-2-one, 1,3,4,5-tetrahydro-5,5-dimethyl-1-(1-methylethyl)-7-[2-(3-pyridinyl)-4-thiazolyl]-, monohydrochloride (9CI) (CA INDEX NAME)

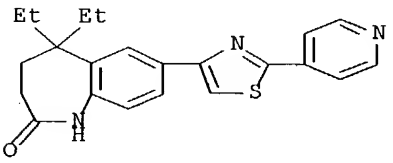


● HCl

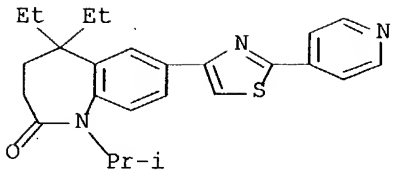
RN 221691-96-9 CAPLUS
CN 2H-1-Benzazepin-2-one, 1,3,4,5-tetrahydro-5,5-dimethyl-1-(1-methylethyl)-7-[2-(1-oxido-3-pyridinyl)-4-thiazolyl]- (9CI) (CA INDEX NAME)



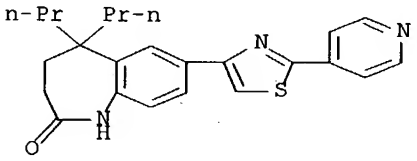
RN 221691-97-0 CAPLUS
CN 2H-1-Benzazepin-2-one, 5,5-diethyl-1,3,4,5-tetrahydro-7-[2-(4-pyridinyl)-4-thiazolyl]- (9CI) (CA INDEX NAME)



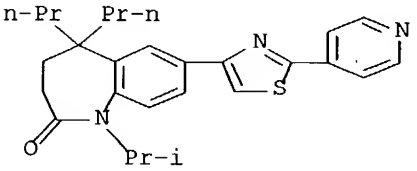
RN 221691-98-1 CAPLUS
CN 2H-1-Benzazepin-2-one, 5,5-diethyl-1,3,4,5-tetrahydro-1-(1-methylethyl)-7-[2-(4-pyridinyl)-4-thiazolyl]- (9CI) (CA INDEX NAME)



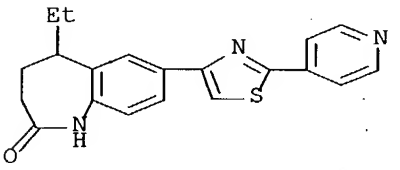
RN 221691-99-2 CAPLUS
CN 2H-1-Benzazepin-2-one, 1,3,4,5-tetrahydro-5,5-dipropyl-7-[2-(4-pyridinyl)-4-thiazolyl]- (9CI) (CA INDEX NAME)



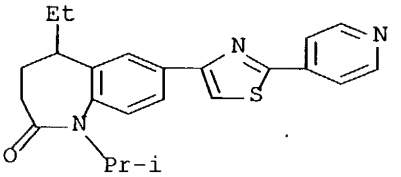
RN 221692-00-8 CAPLUS
CN 2H-1-Benzazepin-2-one, 1,3,4,5-tetrahydro-1-(1-methylethyl)-5,5-dipropyl-7-[2-(4-pyridinyl)-4-thiazolyl]- (9CI) (CA INDEX NAME)



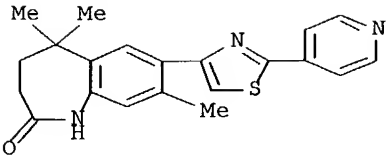
RN 221692-06-4 CAPLUS
CN 2H-1-Benzazepin-2-one, 5-ethyl-1,3,4,5-tetrahydro-7-[2-(4-pyridinyl)-4-thiazolyl]- (9CI) (CA INDEX NAME)



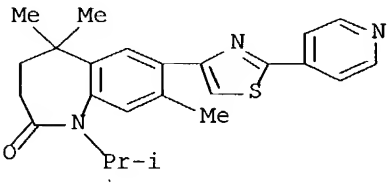
RN 221692-07-5 CAPLUS
CN 2H-1-Benzazepin-2-one, 5-ethyl-1,3,4,5-tetrahydro-1-(1-methylethyl)-7-[2-(4-pyridinyl)-4-thiazolyl]- (9CI) (CA INDEX NAME)



RN 221692-08-6 CAPLUS
CN 2H-1-Benzazepin-2-one, 1,3,4,5-tetrahydro-5,5,8-trimethyl-7-[2-(4-pyridinyl)-4-thiazolyl]- (9CI) (CA INDEX NAME)

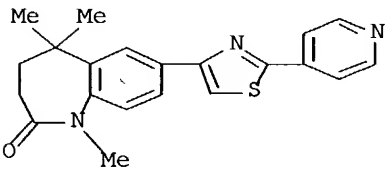


RN 221692-09-7 CAPLUS
CN 2H-1-Benzazepin-2-one, 1,3,4,5-tetrahydro-5,5,8-trimethyl-1-(1-methylethyl)-7-[2-(4-pyridinyl)-4-thiazolyl]-, hydrochloride (5:6) (9CI) (CA INDEX NAME)

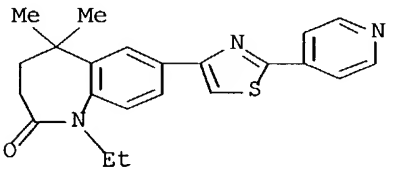


●6/5 HCl

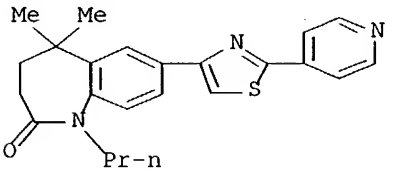
RN 221692-10-0 CAPLUS
CN 2H-1-Benzazepin-2-one, 1,3,4,5-tetrahydro-1,5,5-trimethyl-7-[2-(4-pyridinyl)-4-thiazolyl]- (9CI) (CA INDEX NAME)



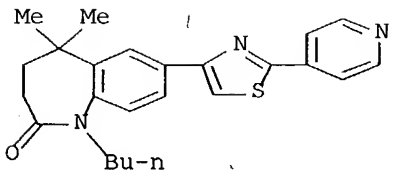
RN 221692-11-1 CAPLUS
CN 2H-1-Benzazepin-2-one, 1-ethyl-1,3,4,5-tetrahydro-5,5-dimethyl-7-[2-(4-pyridinyl)-4-thiazolyl]- (9CI) (CA INDEX NAME)



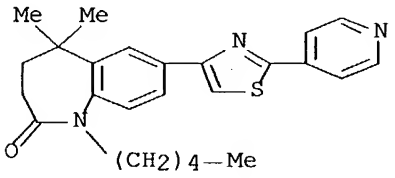
RN 221692-12-2 CAPLUS
CN 2H-1-Benzazepin-2-one, 1,3,4,5-tetrahydro-5,5-dimethyl-1-propyl-7-[2-(4-pyridinyl)-4-thiazolyl]- (9CI) (CA INDEX NAME)



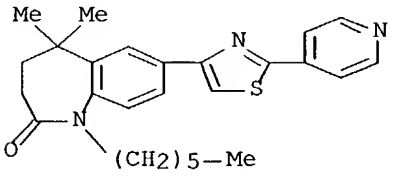
RN 221692-13-3 CAPLUS
CN 2H-1-Benzazepin-2-one, 1-butyl-1,3,4,5-tetrahydro-5,5-dimethyl-7-[2-(4-pyridinyl)-4-thiazolyl]- (9CI) (CA INDEX NAME)



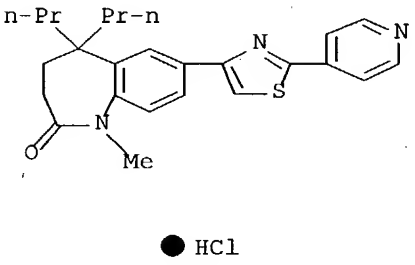
RN 221692-14-4 CAPLUS
CN 2H-1-Benzazepin-2-one, 1,3,4,5-tetrahydro-5,5-dimethyl-1-pentyl-7-[2-(4-pyridinyl)-4-thiazolyl]- (9CI) (CA INDEX NAME)



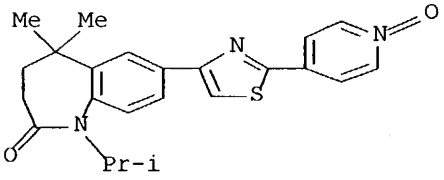
RN 221692-15-5 CAPLUS
CN 2H-1-Benzazepin-2-one, 1-hexyl-1,3,4,5-tetrahydro-5,5-dimethyl-7-[2-(4-pyridinyl)-4-thiazolyl]- (9CI) (CA INDEX NAME)



RN 221692-19-9 CAPLUS
CN 2H-1-Benzazepin-2-one, 1,3,4,5-tetrahydro-1-methyl-5,5-dipropyl-7-[2-(4-pyridinyl)-4-thiazolyl]-, monohydrochloride (9CI) (CA INDEX NAME)



RN 221692-24-6 CAPLUS
CN 2H-1-Benzazepin-2-one, 1,3,4,5-tetrahydro-5,5-dimethyl-1-(1-methylethyl)-7-[2-(1-oxido-4-pyridinyl)-4-thiazolyl]- (9CI) (CA INDEX NAME)

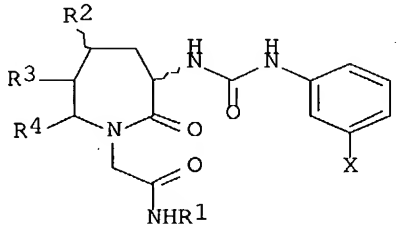


RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

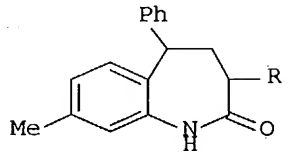
L10 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1995:792605 CAPLUS Full-text
DN 123:198647
TI Tetrahydro-1H-benzazepinones and hexahydroazepinones as selective cholecystokinin-B receptor antagonists
IN Lowe, John A., III
PA Pfizer Inc., USA
SO PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9503281	A1	19950202	WO 1994-IB111	19940519
	W: AU, BR, CA, CN, CZ, HU, JP, KR, NO, NZ, PL, RU, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2168131	AA	19950202	CA 1994-2168131	19940519
	CA 2168131	C	19981006		
	AU 9466866	A1	19950220	AU 1994-66866	19940519
	EP 711283	A1	19960515	EP 1994-914525	19940519
	EP 711283	B1	19990616		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	JP 08508039	T2	19960827	JP 1994-505034	19940519
	JP 2862675	B2	19990303		
	AT 181323	E	19990715	AT 1994-914525	19940519
	ES 2132401	T3	19990816	ES 1994-914525	19940519
	FI 9403499	A	19950127	FI 1994-3499	19940725
	US 5618811	A	19970408	US 1996-586685	19960118
	FI 9902037	A	19990923	FI 1999-2037	19990923
	FI 9902038	A	19990923	FI 1999-2038	19990923
	FI 9902039	A	19990923	FI 1999-2039	19990923
PRAI	US 1993-97640	A	19930726		
	WO 1994-IB111	W	19940519		

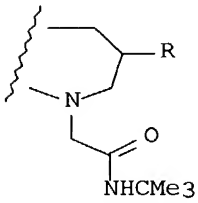
OS MARPAT 123:198647
GI



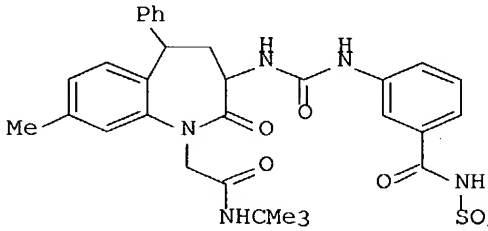
I



II



III



IV

AB The invention relates to compds. I [R1 = C1-10 alkyl; R2 = Ph or C1-10 alkyl, each optionally substituted by Y1; R3, R4 = (independently) H, C1-10 alkyl, Ph, or R3R4 = benzo fusion with optional substituent Y2; X = tetrazolyl, CONHW; W = alkoxycarbonyl, alkylsulfonyl, alkylsulfamoyl,

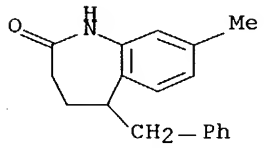
SO2CF3, aryloxycarbonyl, other sulfonyl derivs.; Y1, Y2 = H, thienyl, pyridyl, furyl, pyrimidyl, halo, alkyl, fluoroalkyl, alkoxy, nitro, cyano, (di)(alkyl)amino, alkylthio, (un)substituted Ph, etc.] and pharmaceutically acceptable salts. The compds. are CCK-B receptor antagonists (no data), and are useful in the treatment and prevention of central nervous system and gastrointestinal disorders. For example, 4-phenyl-7-methyl-1,2,3,4-tetrahydronaphth-1-one (preparation given) was converted to its oxime (72%), which underwent rearrangement and ring expansion upon reaction with Et polyphosphate (28.5%) to give the lactam 5-phenyl-8-methyl-2,3,4,5-tetrahydro-1H-(1)-benzazepin-2-one [II; R = H]. This underwent bromination using PCl5/pyridine/Br2 to give 46% II (R = Br), which was deprotonated with NaN(SiMe3)2 and N-alkylated with tert-BuNHCOCH2I to give 36% III (R = Br). Substitution of the bromide to give the azide III (R = N3) (56%), hydrogenation of the azide to the amine III (R = NH2) (75%), and reaction with 3-MeO2CC6H4CO2H and (PhO)2P(O)N3 (65%) gave III (R = NHCONHC6H4CO2Me-3). The latter ester underwent hydrolysis by LiOH in H2O-THF-MeOH (89%) and carbodiimide-mediated condensation with methanesulfonamide (20%) to give title compound IV, a preferred compound

IT **167851-20-9P 167851-43-6P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)(preparation of tetrahydrobenzazepinones and hexahydroazepinones as selective cholecystokinin-B receptor antagonists)

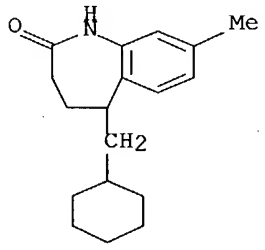
RN 167851-20-9 CAPLUS

CN 2H-1-Benzazepin-2-one, 1,3,4,5-tetrahydro-8-methyl-5-(phenylmethyl)-(9CI)(CA INDEX NAME)

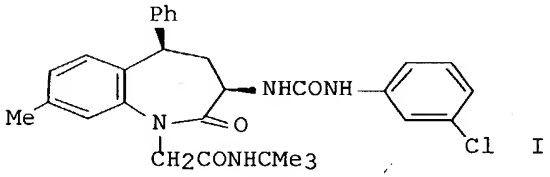


RN 167851-43-6 CAPLUS

CN 2H-1-Benzazepin-2-one, 5-(cyclohexylmethyl)-1,3,4,5-tetrahydro-8-methyl-(9CI) (CA INDEX NAME)



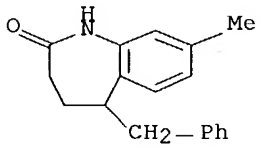
L10 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1995:103961 CAPLUS Full-text
DN 122:9842
TI 5-Phenyl-3-ureidobenzazepin-2-ones as Cholecystokinin-B Receptor Antagonists
AU Lowe, John A., III; Hageman, David L.; Drozda, Susan E.; McLean, Stafford; Bryce, Dianne K.; Crawford, Rosemary T.; Zorn, Stevin; Morrone, Jean; Bordner, Jon
CS Central Research Division, Pfizer Inc., Groton, CT, 06340, USA
SO Journal of Medicinal Chemistry (1994), 37(22), 3789-811
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
GI



I

AB A series of 5-phenyl-3-ureidobenzazepin-2-one cholecystokinin-B (CCK-B) receptor antagonists was synthesized using Beckmann ring expansion of a suitable 4-phenyl-1-tetralone as a key step. Structure-activity relationship studies revealed the importance of the 5-Ph group for potent and selective CCK-B affinity. Addition of an 8-Me substituent and resolution provided the potent (CCK-B IC50 = 0.48 nM) CCK-B antagonist I. The role of the 5-Ph group as part of a "privileged structure" for high-affinity receptor antagonism is discussed.

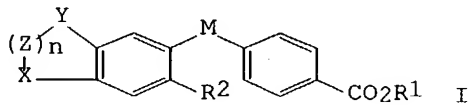
IT **167851-20-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)(preparation of phenylureidobenzazepinones as cholecystokinin-B receptor antagonists)
RN 167851-20-9 CAPLUS
CN 2H-1-Benzazepin-2-one, 1,3,4,5-tetrahydro-8-methyl-5-(phenylmethyl)-(9CI)(CA INDEX NAME)



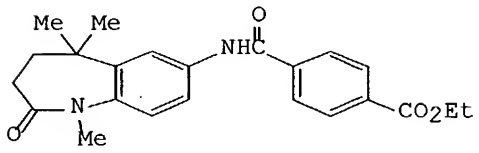
L10 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1993:6881 CAPLUS Full-text
DN 118:6881
TI Preparation of new heterocyclic carboxamides as skin drugs
IN Klaus, Michael; Mohr, Peter
PA F. Hoffmann-La Roche & Co. AG, Switz.
SO Eur. Pat. Appl., 18 pp.
CODEN: EPXXDW
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 504695	A1	19920923	EP 1992-103970	19920309
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, PT, SE				
	BR 9200951	A	19921117	BR 1992-951	19910319
	AU 9211089	A1	19920924	AU 1992-11089	19920220
	AU 649308	B2	19940519		
	CA 2061662	AA	19920922	CA 1992-2061662	19920221
	US 5216148	A	19930601	US 1992-842662	19920227
	ZA 9201889	A	19921125	ZA 1992-1889	19920313
	HU 60465	A2	19920928	HU 1992-871	19920316
	IL 101242	A1	19951208	IL 1992-101242	19920316
	JP 07165709	A2	19950627	JP 1992-90152	19920317
	NO 9201105	A	19920922	NO 1992-1105	19920320
PRAI	CH 1991-863	A	19910321		

OS MARPAT 118:6881
GI



I

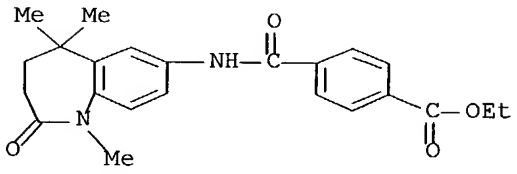


II

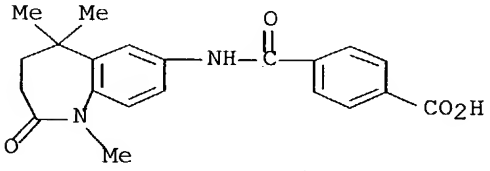
AB Approx. 40 amides I [R1 = H, alkyl, cation; R2 = H, alkyl, alkoxy, halo; M = CONH, NHCO; X, Y = CR3R4, CONR5; Z = CR6R7; R3, R4, R6, R7 = H, alkyl; R5 = alkyl; n = 0, 1, 2; ≥1 of X and Y = CONR5 with the N bound to the Ph ring] were prepared for treatment of inflammatory, allergic, rheumatic, immunol., and neoplastic diseases, especially of the skin. For example, nitration of 2,3,4,5-tetrahydro-1,5,5-trimethyl-2-oxo-(1H)-1- benzazepine (preparation given) with Ac2O and HNO3 gave the 7-nitro derivative, which was hydrogenated over Pd/C to give the 7-amino derivative Amidation of this with 4-(EtO2C)C6H4COCl in pyridine gave title compound II. The ED50 of tested I for inhibiting proliferation of human sebocytes in vitro were in the range 0.1-1 μM. Capsule, tablet, and lotion formulations are described.

IT **144583-34-6P 144583-40-4P 144583-45-9P**
144583-57-3P 144583-68-6P 144583-69-7P
144583-70-0P 144583-71-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as skin drug)

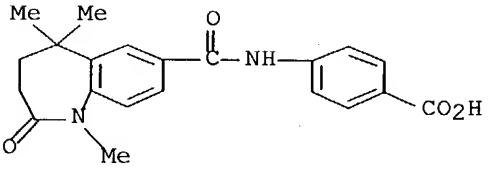
RN 144583-34-6 CAPLUS
CN Benzoic acid, 4-[[(2,3,4,5-tetrahydro-1,5,5-trimethyl-2-oxo-1H-1-benzazepin-7-yl)amino]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)



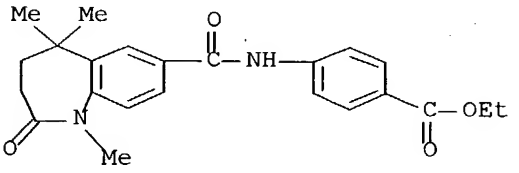
RN 144583-40-4 CAPLUS
CN Benzoic acid, 4-[[(2,3,4,5-tetrahydro-1,5,5-trimethyl-2-oxo-1H-1-benzazepin-7-yl)amino]carbonyl]- (9CI) (CA INDEX NAME)



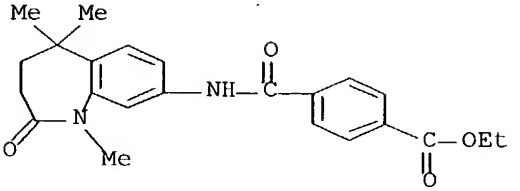
RN 144583-45-9 CAPLUS
CN Benzoic acid, 4-[[(2,3,4,5-tetrahydro-1,5,5-trimethyl-2-oxo-1H-1-benzazepin-7-yl)carbonyl]amino]- (9CI) (CA INDEX NAME)



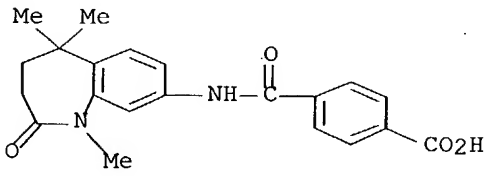
RN 144583-57-3 CAPLUS
CN Benzoic acid, 4-[[(2,3,4,5-tetrahydro-1,5,5-trimethyl-2-oxo-1H-1-benzazepin-7-yl)carbonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



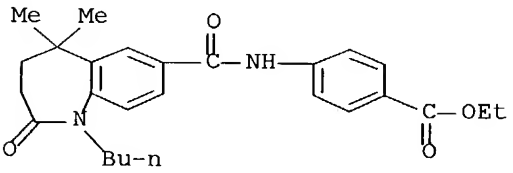
RN 144583-68-6 CAPLUS
CN Benzoic acid, 4-[[(2,3,4,5-tetrahydro-1,5,5-trimethyl-2-oxo-1H-1-benzazepin-8-yl)amino]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)



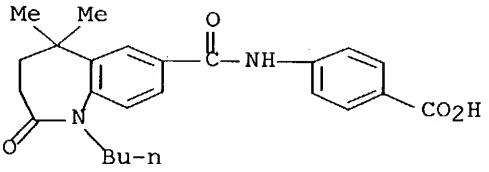
RN 144583-69-7 CAPLUS
CN Benzoic acid, 4-[[(2,3,4,5-tetrahydro-1,5,5-trimethyl-2-oxo-1H-1-benzazepin-8-yl)amino]carbonyl]- (9CI) (CA INDEX NAME)



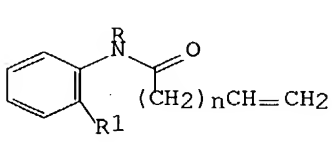
RN 144583-70-0 CAPLUS
CN Benzoic acid, 4-[[(1-butyl-2,3,4,5-tetrahydro-5,5-dimethyl-2-oxo-1H-1-benzazepin-7-yl)carbonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

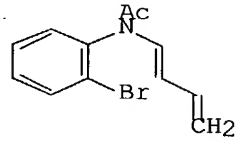


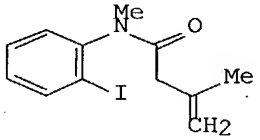
RN 144583-71-1 CAPLUS
CN Benzoic acid, 4-[[(1-butyl-2,3,4,5-tetrahydro-5,5-dimethyl-2-oxo-1H-1-benzazepin-7-yl)carbonyl]amino]- (9CI) (CA INDEX NAME)

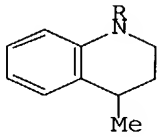


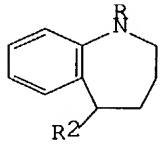
L10 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1991:492039 CAPLUS Full-text
DN 115:92039
TI Aryl radical cyclizations: quinoline, isoquinolone, and 1-benzazepin-2-one rings via 6- and 7-exo cyclizations
AU Clark, Andrew J.; Jones, Keith; McCarthy, Clive; Storey, John M. D.
CS Dep. Chem., King's Coll., London, WC2R 2LS, UK
SO Tetrahedron Letters (1991), 32(24), 2829-32
CODEN: TELEAY; ISSN: 0040-4039
DT Journal
LA English
OS CASREACT 115:92039
GI

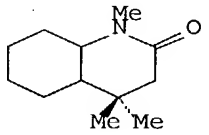


I


II


III


IV


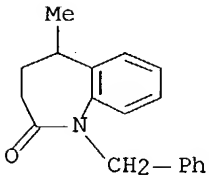
V


VI

AB The cyclization of aryl radicals derived by treatment of aryl halides I (R = Me, R1 = iodo, n = 1, 2; R = PhCH2, R1 = Br, n = 1, 2), II, and III, with Bu3SnH was investigated. I (n = 1), when treated with Bu3SnCl, NaBH3CN in Me3COH, gave IV and V (R2 = H), while II gave VI, and I (n = 2) gave only V (R2 = Me).

IT **135579-13-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, via aryl radical cyclization of alkenoanilide)

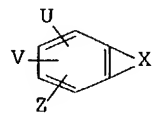
RN 135579-13-4 CAPLUS
CN 2H-1-Benzazepin-2-one, 1,3,4,5-tetrahydro-5-methyl-1-(phenylmethyl)-(9CI)(CA INDEX NAME)



L10 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1989:172903 CAPLUS Full-text
DN 110:172903
TI Preparation of aryl-substituted naphthalenes, benzoxepines, benzazepines, and benzocycloheptenes as drugs
IN Shih, Neng Yang; Mangiaracina, Pietro; Green, Michael J.; Ganguly, Ashit Kumar
PA Schering Corp., USA
SO Eur. Pat. Appl., 86 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 291327	A2	19881117	EP 1988-304354	19880513
	EP 291327	A3	19890125		
	R: ES, GR				
	WO 8808836	A2	19881117	WO 1988-US1524	19880513
	WO 8808836	A3	19881215		
	W: AU, DK, FI, HU, JP, KR, NO, US				
	RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
	AU 8817209	A1	19881206	AU 1988-17209	19880513
	AU 617672	B2	19911205		
	EP 368866	A1	19900523	EP 1988-904379	19880513
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	JP 02501737	T2	19900614	JP 1988-504187	19880513
	HU 52027	A2	19900628	HU 1988-3491	19880513
	ZA 8803446	A	19890222	ZA 1988-3446	19880516
	DK 8905716	A	19891115	DK 1989-5716	19891115
	US 5225436	A	19930706	US 1991-776891	19911015
	US 5446069	A	19950829	US 1993-37865	19930329
PRAI	US 1987-51108	A	19870515		
	WO 1988-US1524	A	19880513		
	US 1989-435509	B1	19891018		
	US 1991-776891	A3	19911015		

OS MARPAT 110:172903
GI



I

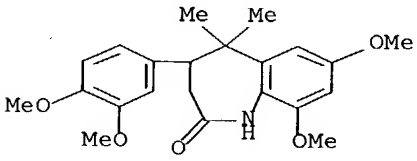
AB The title compds. [I; X = atoms to complete an (un)substituted 6- or 7-membered ring. optionally contg a double bond, C, N, O; U, V, Z = H, alkyl, OH, SH, (un)substituted Ph, PhCH2O, HCO, HOCH2, halo, etc.] and their pharmaceutically acceptable salts, useful in treating hyperproliferative skin disease, allergic reactions, and inflammation, are prepared 3-(3,4-Dimethoxyphenyl)-2-hydroxy-6,8-dimethoxy-4,4-dimethyl-1(4H)- naphthalenone (preparation given) in CH2Cl2 was added to BBr3 in CH2Cl2 over 10 min at -78° under N to give 3-(3,4-dihydroxyphenyl)-2,6,8- trihydroxy-4,4-dimethyl-1(4H)-naphthaleneone (II). At 50 μM II gave 81% inhibition of SRS-A release from sensitized, antigen-challenged guinea pig lung tissue.

IT **120078-99-1P**
RL: BAC (Biological activity or effector, except adverse); BSU

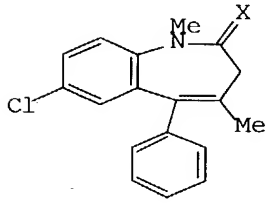
(Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (preparation of, as drug)

RN 120078-99-1 CAPLUS

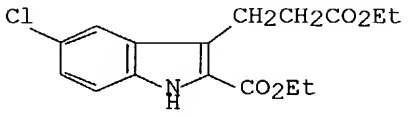
CN 2H-1-Benzazepin-2-one, 4-(3,4-dimethoxyphenyl)-1,3,4,5-tetrahydro-7,9-dimethoxy-5,5-dimethyl- (9CI) (CA INDEX NAME)



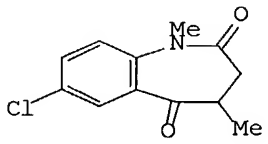
L10 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1982:52162 CAPLUS Full-text
DN 96:52162
TI Benzocondensed heptaatomic heterocycles and their derivatives. VII. Synthesis of benzazepines and benzazepinone analogs of known anxiolytic drugs
AU Rossi, Silvano; Micheli, Marcello; Giannotti, Michele; Salvatori, Americo;
Peruzzi, Guidubaldo
CS Ist. Chim. Farm., Univ. Urbino, Urbino, I-61029, Italy
SO Gazzetta Chimica Italiana (1981), 111(7-8), 365-70
CODEN: GCITA9; ISSN: 0016-5603
DT Journal
LA English
GI



I

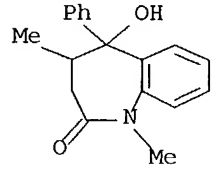


II



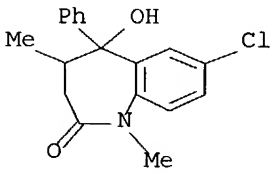
III

AB Benzazepinone I (X = O) was prepared in 8 steps from p-ClC6H4N2+ Cl- and Et 2-oxocyclopentanecarboxylate via ring closure to give II, oxidation, hydrolysis, reductive cyclization to give III, methylation, Grignard reaction with PhBr, and dehydration. Subsequent reduction by LiAlH4 gave I (X = H2). Both synthesized I had sedative properties.
IT **80452-50-2P 80452-58-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation and dehydration of)
RN 80452-50-2 CAPLUS
CN 2H-1-Benzazepin-2-one, 1,3,4,5-tetrahydro-5-hydroxy-1,4-dimethyl-5-phenyl-(9CI) (CA INDEX NAME)

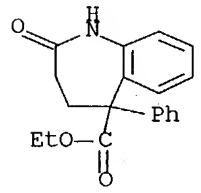


RN 80452-58-0 CAPLUS

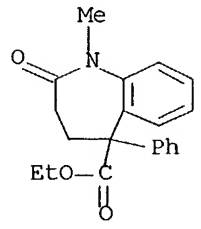
CN 2H-1-Benzazepin-2-one, 7-chloro-1,3,4,5-tetrahydro-5-hydroxy-1,4-dimethyl-5-phenyl- (9CI) (CA INDEX NAME)



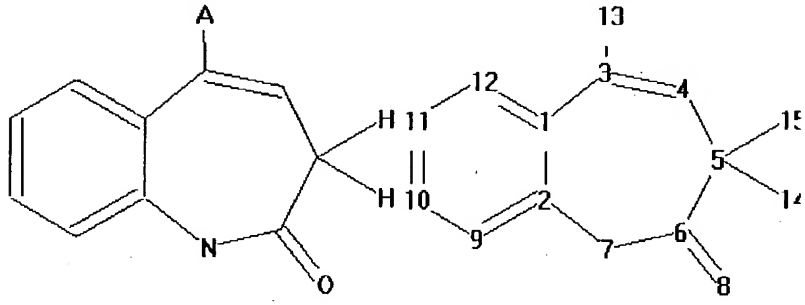
L10 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1965:462188 CAPLUS Full-text
DN 63:62188
OREF 63:11298e-f
TI Limitations in ring rearrangement of fused γ-lactams imposed by a quaternary carbon atom. Cyclization of acid lactams to spiro keto lactams
AU Walker, Gordon N.; Alkalay, David; Smith, Ronald T.
CS CIBA Corp., Summit, NJ
SO Journal of Organic Chemistry (1965), 30(9), 2973-83
CODEN: JOCEAH; ISSN: 0022-3263
DT Journal
LA English
OS CASREACT 63:62188
AB Through spectra, derivatives, and cyclizations to spirooxindoleindanones and spirooxindoletetralones, it is demonstrated that 1,3-disubstituted oxindole-3-acetic acids do not rearrange to 4-carboxyhydroxycarbostyrils. Ring contractions, revolving around a quaternary C atom, of 2,3,4,5-tetrahydro-6,7-benzazepin-2-one-5-carboxylic acids and related seven-membered lactams take place as previously reported for simpler analogs.
IT **3389-93-3**, 1H-1-Benzazepine-5-carboxylic acid, 2,3,4,5-tetrahydro-2-oxo-5-phenyl-, ethyl ester **3389-94-4**, 1H-1-Benzazepine-5-carboxylic acid, 2,3,4,5-tetrahydro-1-methyl-2-oxo-5-phenyl-, ethyl ester
(preparation of)
RN 3389-93-3 CAPLUS
CN 1H-1-Benzazepine-5-carboxylic acid, 2,3,4,5-tetrahydro-2-oxo-5-phenyl-, ethyl ester (7CI, 8CI) (CA INDEX NAME)



RN 3389-94-4 CAPLUS
CN 1H-1-Benzazepine-5-carboxylic acid, 2,3,4,5-tetrahydro-1-methyl-2-oxo-5-phenyl-, ethyl ester (7CI, 8CI) (CA INDEX NAME)



```
=> d l1; d his
L1 HAS NO ANSWERS
L1              STR

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.

     (FILE 'HOME' ENTERED AT 14:44:49 ON 03 DEC 2004)

     FILE 'REGISTRY' ENTERED AT 14:44:57 ON 03 DEC 2004
L1              STRUCTURE UPLOADED
L2           16 S L1
L3              STRUCTURE UPLOADED
L4            1 S L3
L5              STRUCTURE UPLOADED
L6            4 S L5
L7          230 S L5 FUL
L8            5 S L1 SAM SUB=L7
L9          166 S L1 FUL SUB=L7

     FILE 'CAPLUS' ENTERED AT 14:56:18 ON 03 DEC 2004
L10          12 S L9

=>
Uploading C:\Program Files\Stnexp\Queries\824244.str
```

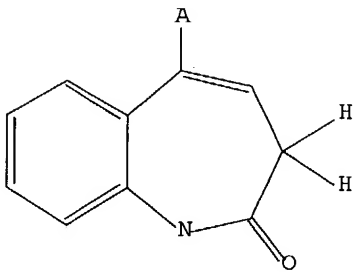



```
chain nodes :
8  13  14  15
ring nodes :
1  2  3  4  5  6  7  9  10  11  12
chain bonds :
3-13  5-14  5-15  6-8
ring bonds :
1-3  1-2  1-12  2-7  2-9  3-4  4-5  5-6  6-7  9-10  10-11  11-12
exact/norm bonds :
2-7  3-13  6-7  6-8
exact bonds :
1-3  3-4  4-5  5-6  5-14  5-15
normalized bonds :
1-2  1-12  2-9  9-10  10-11  11-12
isolated ring systems :
containing 1 :


Match level :
```

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:CLASS 9:Atom
10:Atom
11:Atom 12:Atom 13:CLASS 14:CLASS 15:CLASS

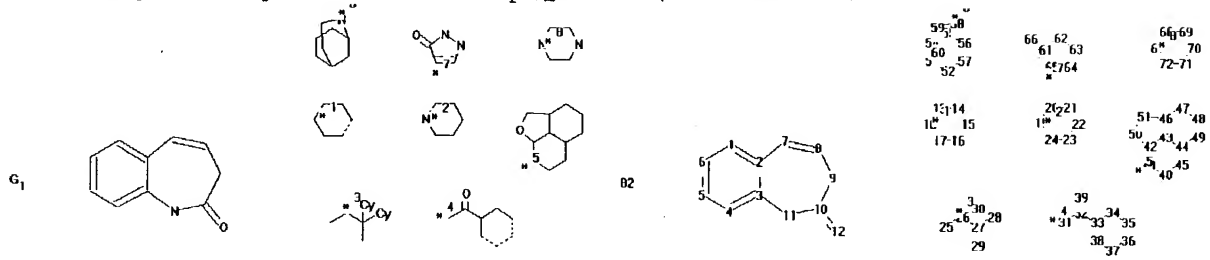
L11 STRUCTURE UPLOADED

=> d l11
L11 HAS NO ANSWERS
L11 STR



Structure attributes must be viewed using STN Express query preparation.

```
     FILE 'CAPLUS' ENTERED AT 14:56:18 ON 03 DEC 2004
L10           12 S L9
L11              STRUCTURE UPLOADED
                 S L11

     FILE 'REGISTRY' ENTERED AT 14:58:08 ON 03 DEC 2004
L12            2 S L11

     FILE 'CAPLUS' ENTERED AT 14:58:09 ON 03 DEC 2004
L13            2 S L12
                 S L11

     FILE 'REGISTRY' ENTERED AT 14:58:13 ON 03 DEC 2004
L14           43 S L11 FUL

     FILE 'CAPLUS' ENTERED AT 14:58:14 ON 03 DEC 2004
L15           23 S L14 FUL

     FILE 'REGISTRY' ENTERED AT 14:58:30 ON 03 DEC 2004

=>
Uploading C:\Program Files\Stnexp\Queries\10824244.str
```




```
chain nodes :
12  25  26  27  28  29  30  31  32  39  66  82
ring nodes :
1  2  3  4  5  6  7  8  9  10  11  13  14  15  16  17  18  19  20  21  22  23
24
33  34  35  36  37  38  40  41  42  43  44  45  46  47  48  49  50  51  52
53  54  55  56  57  58
59  60  61  62  63  64  65  67  68  69  70  71  72
chain bonds :
10-12  25-26  26-27  27-28  27-29  27-30  31-32  32-33  32-39  61-66
ring bonds :
1-2  1-6  2-3  2-7  3-4  3-11  4-5  5-6  7-8  8-9  9-10  10-11  13-14  13-18
14-15
15-16  16-17  17-18  19-20  19-24  20-21  21-22  22-23  23-24  33-34  33-38
34-35  35-36  36-37
37-38  40-41  40-45  41-42  42-43  42-50  43-44  43-46  44-45  44-49  46-47
46-51  47-48  48-49
50-51  52-53  52-57  52-60  53-54  54-55  55-56  56-57  56-58  58-59  59-60
61-62  61-65  62-63
63-64  64-65  67-68  67-72  68-69  69-70  70-71  71-72
exact/norm bonds :
2-7  3-11  7-8  8-9  9-10  10-11  10-12  13-14  13-18  14-15  15-16  16-17
17-18
19-20  19-24  20-21  21-22  22-23  23-24  27-28  27-30  32-39  33-34  33-38
```

```
34-35  35-36  36-37
37-38  40-41  40-45  41-42  42-43  42-50  43-44  43-46  44-45  44-49  46-47
46-51  47-48  48-49
50-51  52-53  52-57  52-60  53-54  54-55  55-56  56-57  56-58  58-59  59-60
61-62  61-65  61-66  62-63
63-64  64-65  67-68  67-72  68-69  69-70  70-71  71-72
exact bonds :
25-26  26-27  27-29  31-32  32-33
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6


G1:[*1],[*2],[*3],[*4],[*5],[*6],[*7],[*8]

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom
10:Atom
11:Atom  12:CLASS  13:Atom  14:Atom  15:Atom  16:Atom  17:Atom  18:Atom
19:Atom  20:Atom  21:Atom
22:Atom  23:Atom  24:Atom  25:CLASS  26:CLASS  27:CLASS  28:Atom  29:CLASS
30:Atom  31:CLASS  32:CLASS
33:Atom  34:Atom  35:Atom  36:Atom  37:Atom  38:Atom  39:CLASS  40:Atom
41:Atom  42:Atom  43:Atom
44:Atom  45:Atom  46:Atom  47:Atom  48:Atom  49:Atom  50:Atom  51:Atom
52:Atom  53:Atom  54:Atom
55:Atom  56:Atom  57:Atom  58:Atom  59:Atom  60:Atom  61:Atom  62:Atom
63:Atom  64:Atom  65:Atom
66:CLASS  67:Atom  68:Atom  69:Atom  70:Atom  71:Atom  72:Atom  82:CLASS



L16     STRUCTURE UPLOADED

=> d l16
L16 HAS NO ANSWERS
L16             STR

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.


=> s l16 sub=l14 sam
SAMPLE SUBSET SEARCH INITIATED 14:59:41 FILE 'REGISTRY'
SAMPLE SUBSET SCREEN SEARCH COMPLETED -      0 TO ITERATE

100.0% PROCESSED       0 ITERATIONS                              0 ANSWERS
SEARCH TIME: 00.00.01

PROJECTIONS (WITHIN SPECIFIED SUBSET):          ONLINE  **COMPLETE**
PROJECTED ITERATIONS (WITHIN SPECIFIED SUBSET):          0 TO        0
PROJECTED ANSWERS (WITHIN SPECIFIED SUBSET):             0 TO        0

L17           0 SEA SUB=L14 SSS SAM L16

=> s l16 sub=l14 ful
```

FULL SUBSET SEARCH INITIATED 14:59:47 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED - 10 TO ITERATE

100.0% PROCESSED 10 ITERATIONS 8 ANSWERS
SEARCH TIME: 00.00.01

L18 8 SEA SUB=L14 SSS FUL L16

=> fil caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	37.49	452.49

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-8.40

FILE 'CAPLUS' ENTERED AT 14:59:52 ON 03 DEC 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 3 Dec 2004 VOL 141 ISS 23
FILE LAST UPDATED: 1 Dec 2004 (20041201/ED)

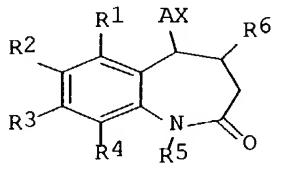
This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l18
L19 2 L18

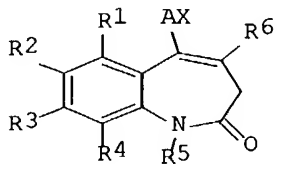
L19 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:356425 CAPLUS Full-text
DN 138:353845
TI Preparation of 2H-1-benzazepin-2-ones as analgesics
IN Sattlegger, Michael; Buschmann, Helmut; Przewosny, Michael; Englberger, Werner; Koegel, Babette-Yvonne; Schick, Hans
PA Gruenenthal G.m.b.H., Germany
SO PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003037873	A1	20030508	WO 2002-EP11830	20021023
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	DE 10153348	A1	20030508	DE 2001-10153348	20011029
	EP 1444206	A1	20040811	EP 2002-781280	20021023
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
	US 2004224938	A1	20041111	US 2004-824244	20040414
PRAI	DE 2001-10153348	A	20011029		
	WO 2002-EP11830	W	20021023		

OS MARPAT 138:353845
GI



I



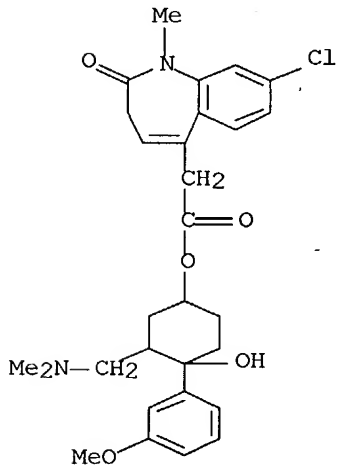
II

AB Title compds. [I; R1-R4 = H, halo, OH, (branched) (saturated) C1-10 aliphatic group, C3-7 cycloaliph. group; whereby the both aliphatic and cycloaliph. groups are bonded by an ether bridge; R5 = H, (branched) (saturated) C1-10 aliphatic group, (hetero)aryl; R6 = OH, CH2NR72; R7 = (branched) (saturated) C1-6 aliphatic group, C3-6 cycloaliph. group; or NR7 = 3-8 membered cyclyl; A = (CH2)n+2, (CH2)nCH:CH, (CH2)nCO2, (CH2)nCONH, (CH2)n+1O(CH2)pCO, (CH2)n+1O, (CH2)n+1NR8; p = 0, 1; n = 0-3; R8 = H, (branched) (saturated) C1-10 aliphatic group, C3-7 cycloaliph. group, (hetero)aryl; X = (substituted) phenylcyclohexyl, etc.], were prepared Thus, 4-amino-2-(N,N-dimethylaminomethyl)-1-(3-methoxyphenyl)cyclohexan-1-ol was reacted with (8-chloro-1-methyl-2-oxo-2,3-dihydro-1H-1-benzazepin-5- yl)acetic acid (analog preparation given) in the presence of dicyclohexylcarbodiimide, N-methylmorpholine, and 1-hydroxybenzotriazole in DMF to give 75% (8-chloro-1-methyl-2-oxo-2,3-dihydro-1H-1-benzazepin-5- yl)-N-[3-(N,N-dimethylaminomethyl)-4-hydroxy-

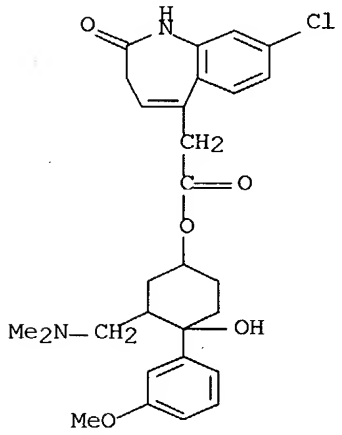
4-(3- methoxyphenyl)cyclohexyl]acetamide. The latter at 10 mg/kg i.v. in mice gave 25% inhibition of phenylquinone-induced writhing.

IT **521058-14-0P 521058-17-3P 521058-18-4P 521058-19-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)(preparation of benzazepinones as analgesics)

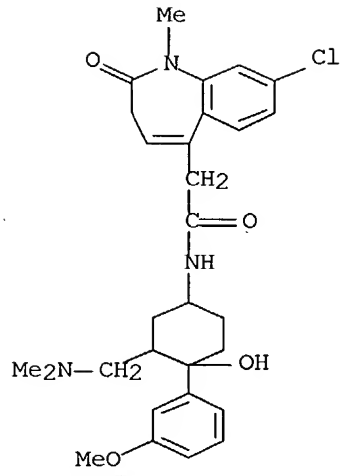
RN 521058-14-0 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 8-chloro-2,3-dihydro-1-methyl-2-oxo-, 3-[(dimethylamino)methyl]-4-hydroxy-4-(3-methoxyphenyl)cyclohexyl ester (9CI) (CA INDEX NAME)



RN 521058-17-3 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 8-chloro-2,3-dihydro-2-oxo-, 3-[(dimethylamino)methyl]-4-hydroxy-4-(3-methoxyphenyl)cyclohexyl ester (9CI) (CA INDEX NAME)

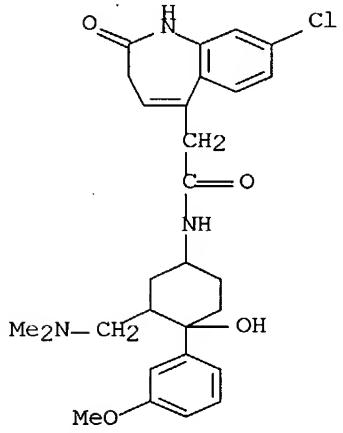


RN 521058-18-4 CAPLUS
CN 1H-1-Benzazepine-5-acetamide, 8-chloro-N-[3-[(dimethylamino)methyl]-4-hydroxy-4-(3-methoxyphenyl)cyclohexyl]-2,3-dihydro-1-methyl-2-oxo- (9CI) (CA INDEX NAME)



RN 521058-19-5 CAPLUS

CN 1H-1-Benzazepine-5-acetamide, 8-chloro-N-[3-[(dimethylamino)methyl]-4-hydroxy-4-(3-methoxyphenyl)cyclohexyl]-2,3-dihydro-2-oxo- (9CI) (CA INDEX NAME)



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

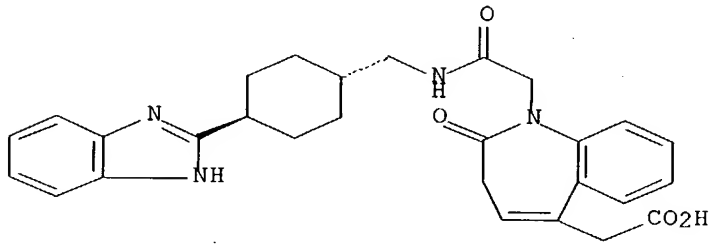
L19 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:903802 CAPLUS Full-text
DN 136:37604
TI Preparation of azolylazepinylacetates as ligands of integrin receptors.
IN Geneste, Herve; Kling, Andreas; Lange, Udo; Seitz, Werner; Graef, Claudia Isabella; Subkowski, Thomas; Hornberger, Wilfried; Lauterbach, Arnulf
PA BASF AG, Germany
SO PCT Int. Appl., 187 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 9

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001093840	A2	20011213	WO 2001-EP6397	20010606
	WO 2001093840	A3	20020808		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	DE 10027514	A1	20020103	DE 2000-10027514	20000606
	CA 2411549	AA	20021205	CA 2001-2411549	20010606
	EP 1286673	A2	20030305	EP 2001-945258	20010606
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2004501120	T2	20040115	JP 2002-501413	20010606
PRAI	DE 2000-10027514	A	20000606		
	WO 2001-EP6397	W	20010606		

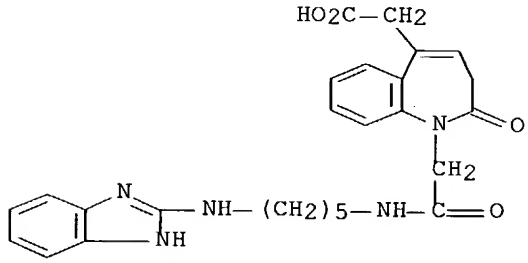
OS MARPAT 136:37604
AB Use of BGL [L = UT; T = CO2H, group hydrolyzable to CO2H, or a CO2H bioisostere; U = Xa(CR1R2)b, CR1:CR2, C.tplbond.C, CR1; X = CR3R4, imino, O, S; a = 0, 1; b = 0-2; R1-R4 = H, T, OH, amino, CONH2, halo, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, alkoxy, etc.; G = specified heterocyclylene; B = structural element containing ≥1 atom which under physiol. conditions can undergo hydrogen bridge bonding] as integrin receptor ligands is claimed (no data). Thus, [5-(2-tert-butoxy-2-oxoethyl)-2-oxo-2,3,4,5-tetrahydro-1H-benzazepin-1- yl]acetic acid (preparation given) and N-[5-(aminomethyl)thiazol-2-yl]guanidine dihydrochloride (preparation given) in DMF at 0° were treated with N-methylmorpholine and TOTU to give 65% tert-Bu [1-[2-[[[2-[[amino(imino)methyl]amino]thiazol-5-yl]methyl]amino]-2-oxoethyl]-2-oxo-2,3,4,5-tetrahydro-1H-benzazepin-5-yl]acetate. Drug prepns. containing BGL and numerous other drug classes, e.g. blood platelet adhesion, activation, and aggregation inhibitors, are also claimed.
IT **380395-96-0P 380395-97-1P 380395-98-2P**
380395-99-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)(preparation of azolylazepinylacetates as ligands of integrin receptors)
RN 380395-96-0 CAPLUS

CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[trans-4-(1H-benzimidazol-2-yl)cyclohexyl]methyl]amino]-2-oxoethyl]-2,3-dihydro-2-oxo- (9CI) (CA INDEX NAME)

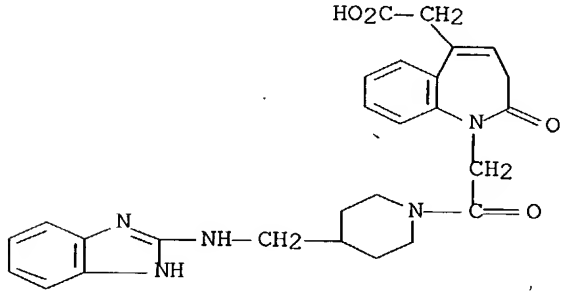
Relative stereochemistry.



RN 380395-97-1 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[5-(1H-benzimidazol-2-ylamino)pentyl]amino]-2-oxoethyl]-2,3-dihydro-2-oxo- (9CI) (CA INDEX NAME)

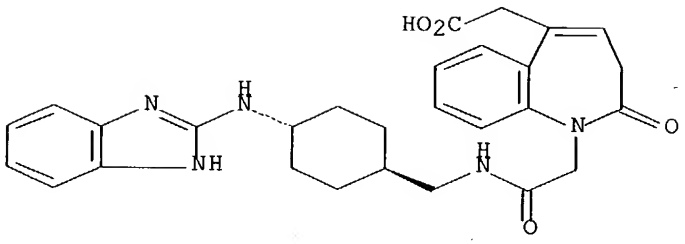


RN 380395-98-2 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[4-[(1H-benzimidazol-2-ylamino)methyl]-1-piperidinyl]-2-oxoethyl]-2,3-dihydro-2-oxo- (9CI) (CA INDEX NAME)

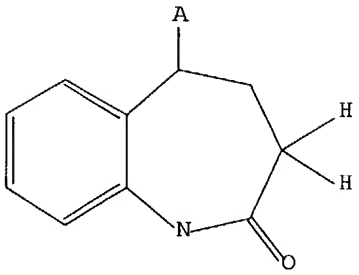


RN 380395-99-3 CAPLUS
CN 1H-1-Benzazepine-5-acetic acid, 1-[2-[[[trans-4-(1H-benzimidazol-2-ylamino)cyclohexyl]methyl]amino]-2-oxoethyl]-2,3-dihydro-2-oxo- (9CI) (CA INDEX NAME)

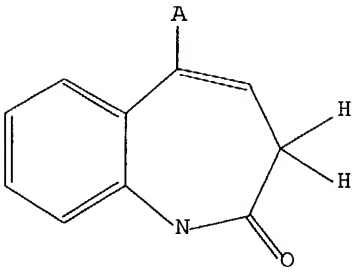
Relative stereochemistry.


HO2C
N
H
N
NH
N
O
H
N
O

```
=> d l5; d l11
L5 HAS NO ANSWERS
L5                STR
```



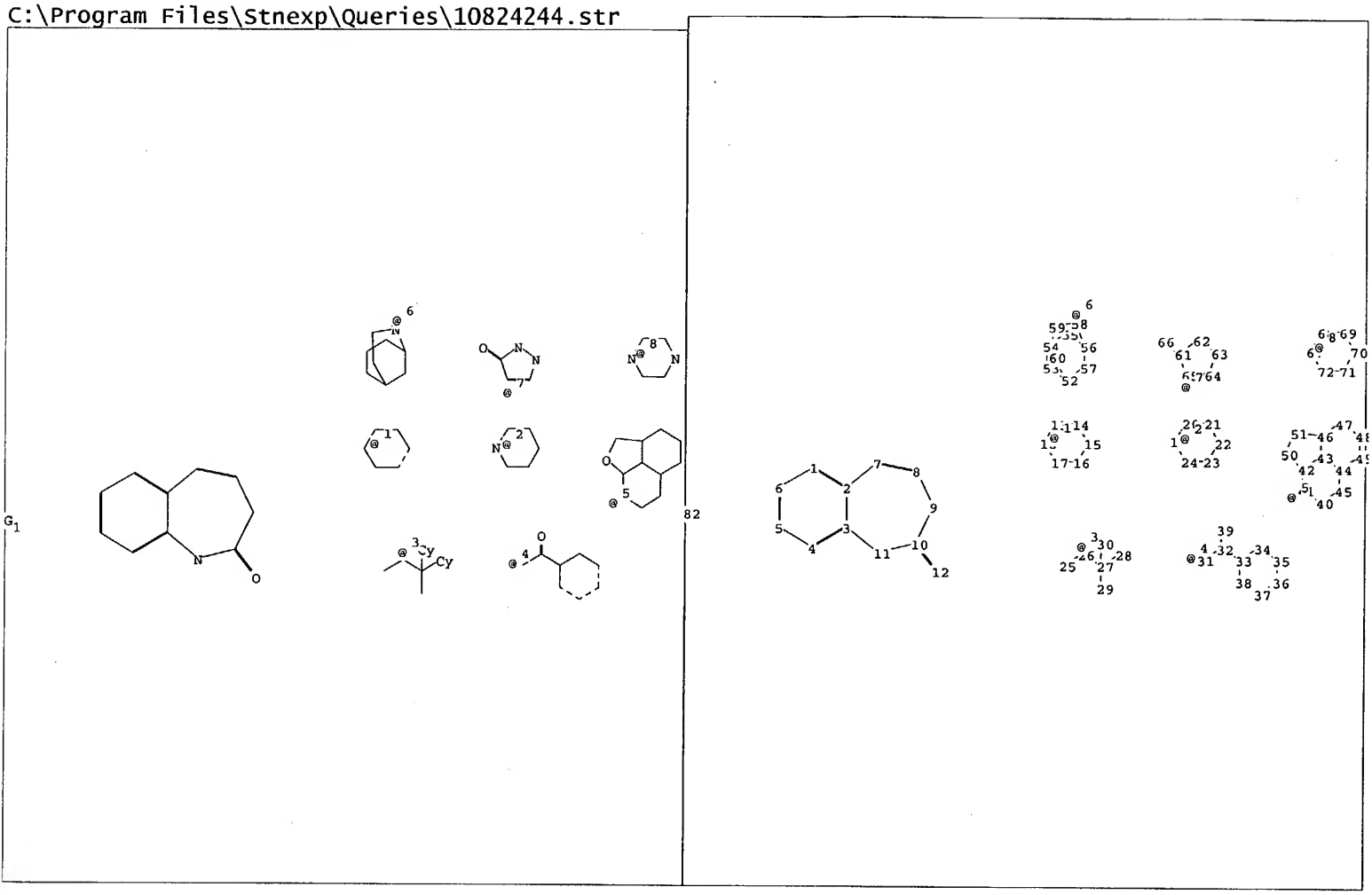

```
Structure attributes must be viewed using STN Express query preparation.

L11 HAS NO ANSWERS
L11               STR
```




```
Structure attributes must be viewed using STN Express query preparation.

=> d his

     (FILE 'HOME' ENTERED AT 14:44:49 ON 03 DEC 2004)

     FILE 'REGISTRY' ENTERED AT 14:44:57 ON 03 DEC 2004
L1                STRUCTURE UPLOADED
L2             16 S L1
L3                STRUCTURE UPLOADED
L4              1 S L3
L5                STRUCTURE UPLOADED
L6              4 S L5
L7            230 S L5 FUL
L8              5 S L1 SAM SUB=L7
L9            166 S L1 FUL SUB=L7

     FILE 'CAPLUS' ENTERED AT 14:56:18 ON 03 DEC 2004
L10            12 S L9
L11               STRUCTURE UPLOADED
                  S L11

     FILE 'REGISTRY' ENTERED AT 14:58:08 ON 03 DEC 2004
L12             2 S L11

     FILE 'CAPLUS' ENTERED AT 14:58:09 ON 03 DEC 2004
L13             2 S L12
                  S L11
```

```
     FILE 'REGISTRY' ENTERED AT 14:58:13 ON 03 DEC 2004
L14           43 S L11 FUL

     FILE 'CAPLUS' ENTERED AT 14:58:14 ON 03 DEC 2004
L15           23 S L14 FUL

     FILE 'REGISTRY' ENTERED AT 14:58:30 ON 03 DEC 2004
L16              STRUCTURE UPLOADED
L17            0 S L16 SAM SUB=L14
L18            8 S L16 FUL SUB=L14

     FILE 'CAPLUS' ENTERED AT 14:59:52 ON 03 DEC 2004
L19            2 S L18

     FILE 'REGISTRY' ENTERED AT 15:00:38 ON 03 DEC 2004

     FILE 'CAPLUS' ENTERED AT 15:00:38 ON 03 DEC 2004

=> log y
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                       0.44     465.08

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                         ENTRY    SESSION
CA SUBSCRIBER PRICE                                       0.00      -9.80

STN INTERNATIONAL LOGOFF AT 15:01:15 ON 03 DEC 2004
```

C:\Program Files\Stnexp\Queries\10824244.str



chain nodes :
12 25 26 27 28 29 30 31 32 39 66 82
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 13 14 15 16 17 18 19 20 21 22 23 24 33 34
35 36 37 38 40 41 42 43 44 45 46 47 48 49 50 51 52 53 54 55 56 57 58
59 60 61 62 63 64 65 67 68 69 70 71 72
chain bonds :
10-12 25-26 26-27 27-28 27-29 27-30 31-32 32-33 32-39 61-66
ring bonds :
1-2 1-6 2-3 2-7 3-4 3-11 4-5 5-6 7-8 8-9 9-10 10-11 13-14 13-18 14-15 15-16
16-17 17-18 19-20 19-24 20-21 21-22 22-23 23-24 33-34 33-38 34-35 35-36 36-37
37-38 40-41 40-45 41-42 42-43 42-50 43-44 43-46 44-45 44-49 46-47 46-51 47-48
48-49 50-51 52-53 52-57 52-60 53-54 54-55 55-56 56-57 56-58 58-59 59-60 61-62
61-65 62-63 63-64 64-65 67-68 67-72 68-69 69-70 70-71 71-72
exact/norm bonds :
2-7 3-11 7-8 8-9 9-10 10-11 10-12 13-14 13-18 14-15 15-16 16-17 17-18 19-20
19-24 20-21 21-22 22-23 23-24 27-28 27-30 32-39 33-34 33-38 34-35 35-36 36-37
37-38 40-41 40-45 41-42 42-43 42-50 43-44 43-46 44-45 44-49 46-47 46-51 47-48
48-49 50-51 52-53 52-57 52-60 53-54 54-55 55-56 56-57 56-58 58-59 59-60 61-62
61-65 61-66 62-63 63-64 64-65 67-68 67-72 68-69 69-70 70-71 71-72
exact bonds :
25-26 26-27 27-29 31-32 32-33
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

G1:[*1],[*2],[*3],[*4],[*5],[*6],[*7],[*8]

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom
12:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom
22:Atom 23:Atom 24:Atom 25:CLASS 26:CLASS 27:CLASS 28:Atom 29:CLASS 30:Atom
31:CLASS 32:CLASS 33:Atom 34:Atom 35:Atom 36:Atom 37:Atom 38:Atom 39:CLASS 40:Atom
41:Atom 42:Atom 43:Atom 44:Atom 45:Atom 46:Atom 47:Atom 48:Atom 49:Atom 50:Atom
51:Atom